

10/016,331

=> d his

(FILE 'HOME' ENTERED AT 12:49:55 ON 02 AUG 2002)

FILE 'REGISTRY' ENTERED AT 12:50:00 ON 02 AUG 2002

L1 STRUCTURE UPLOADED  
L2 QUE L1  
L3 17 S L2  
L4 STRUCTURE UPLOADED  
L5 QUE L4  
L6 10 S L5  
L7 164 S L5 SSS FUL

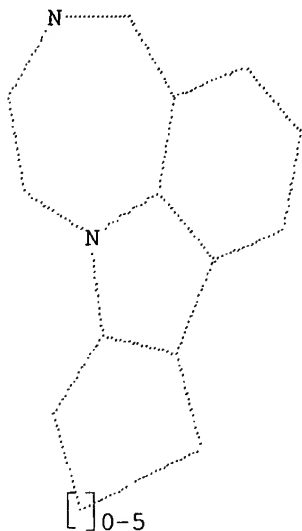
FILE 'CAPLUS' ENTERED AT 12:52:22 ON 02 AUG 2002

L8 20 S L7

=> d 15

L5 HAS NO ANSWERS

L4 STR



Structure attributes must be viewed using STN Express query preparation.

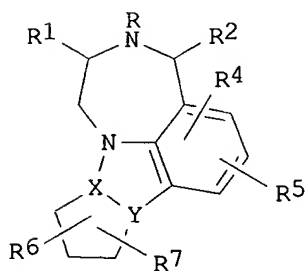
L5 QUE ABB=ON PLU=ON L4

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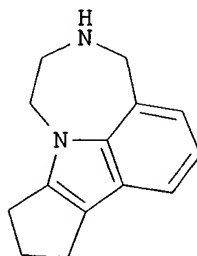
*applicant*

L8 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2002 ACS  
 AN 2002:408673 CAPLUS  
 DN 137:6202  
 TI Preparation of cyclopenta[b][1,4]diazepino[6,7,1-hi]indoles as selective 5-HT<sub>2c</sub> receptor agonists  
 IN Sabb, Annmarie Louise; Vogel, Robert Lewis; Nelson, James Albert; Rosenzweig-Lipson, Sharon Joy; Welmaker, Gregory Scott; Sabalski, Joan Eileen; Smith, Michael David; Chan, Anita Wai-Yin; Antane, Madelene Miyoko; Raveendranath, Panolil; Megati, Sreenivasulu  
 PA Wyeth, John, and Brother Ltd., USA  
 SO PCT Int. Appl., 111 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002042304	A2	20020530	WO 2001-US45792	20011101
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2000-245591P	P	20001103		
	US 2000-245593P	P	20001103		
	US 2000-245843P	P	20001103		
	US 2000-245915P	P	20001103		
	US 2000-245954P	P	20001103		
OS	MARPAT 137:6202				
GI					



I



II

AB Cyclopenta[b][1,4]diazepino[6,7,1-hi]indoles [I; R = H, alkyl, acyl, alkylcarbonyl, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, fluoroalkyl, cycloalkyl, alkoxy, CH<sub>2</sub>OH, amino, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, alkylsulfonylamino, alkylaminosulfonyl, etc.; R<sub>4</sub>, R<sub>5</sub> = H, halo, cyano, alkyl, fluoroalkyl, alkoxy, fluoroalkoxy, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, amino, etc.; R<sub>6</sub>, R<sub>7</sub> = H, alkyl, cycloalkyl, cycloalkylmethyl; XY = CHCH,

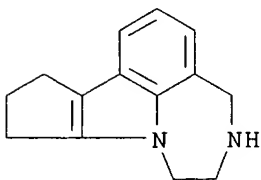
C:C] are prepd. as selective 5-HT<sub>2c</sub> agonists for use in the treatment of schizophrenia, obsessive-compulsive disorder, depression, anxiety, panic disorder, generalized anxiety disorder, obesity and epilepsy. Cyclopenta[b]indoles are claimed as intermediates in the prepn. of I. E.g., 2,3,4,5-tetrahydro-1H-benzodiazepine is acetylated with Ac<sub>2</sub>O to give 4-acetyl-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine; addn. of NaNO<sub>2</sub> and HCl, redn. of the nitrosamine with Zn in situ, addn. of cyclopentanone, and hydrolysis of the acetyl group gives hexahydrocyclopenta[b][1,4]diazepino[6.7,1-hi]indole II. Biol. data on the binding of selected I to 5-HT<sub>2c</sub> receptors is given.

IT **420802-62-6P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(prepn. of cyclopentadiazepinoindoles as selective 5-HT<sub>2c</sub> receptor agonists for treatment of schizophrenia and anxiety and depression and obesity)

RN 420802-62-6 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,9,10-hexahydro- (9CI) (CA INDEX NAME)



IT **420802-63-7P 422311-95-3P 422311-96-4P**

**422311-97-5P 422311-98-6P 422311-99-7P**

**425414-33-1P 425414-34-2P 428868-30-8P**

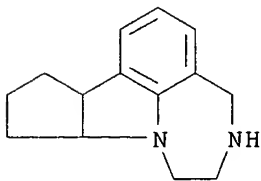
**428868-31-9P 428868-32-0P 432049-99-5P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclopentadiazepinoindoles as selective 5-HT<sub>2c</sub> receptor agonists for treatment of schizophrenia and anxiety and depression and obesity)

RN 420802-63-7 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,7a,9,10,10a-octahydro- (9CI) (CA INDEX NAME)

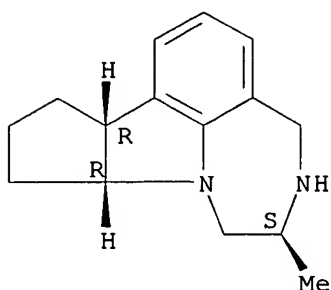


RN 422311-95-3 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,7b,9,10,10a-octahydro-2-methyl-, (2S,7bR,10aR)- (9CI) (CA INDEX NAME)

10/016,331

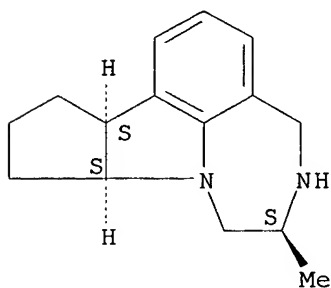
Absolute stereochemistry. Rotation (+).



RN 422311-96-4 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,7b,9,10,10a-octahydro-2-methyl-, (2S,7bS,10aS)- (9CI) (CA INDEX  
NAME)

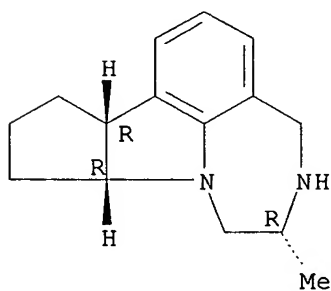
Absolute stereochemistry. Rotation (+).



RN 422311-97-5 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,7b,9,10,10a-octahydro-2-methyl-, (2R,7bR,10aR)- (9CI) (CA INDEX  
NAME)

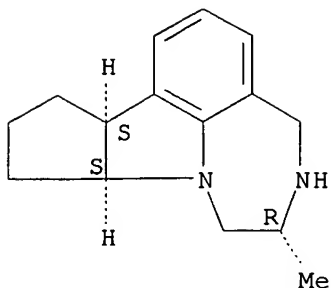
Absolute stereochemistry. Rotation (-).



RN 422311-98-6 CAPLUS

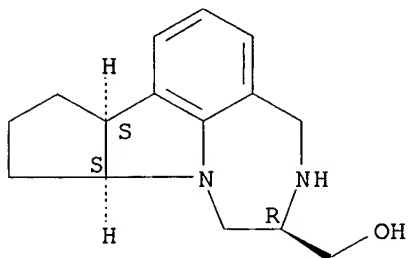
CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,7b,9,10,10a-octahydro-2-methyl-, (2R,7bS,10aS)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry.



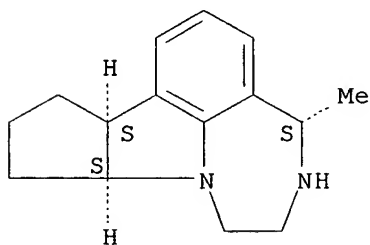
RN 422311-99-7 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine-2-methanol,  
 1,2,3,4,7b,9,10,10a-octahydro-, (2R,7bS,10aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



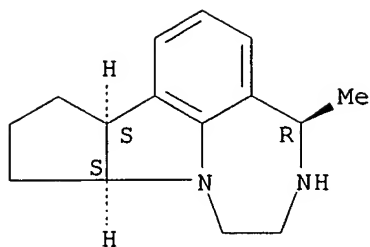
RN 425414-33-1 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
 1,2,3,4,7b,9,10,10a-octahydro-4-methyl-, (4R,7bR,10aR)-rel- (9CI) (CA  
 INDEX NAME)

Relative stereochemistry.

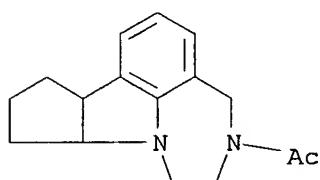


RN 425414-34-2 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
 1,2,3,4,7b,9,10,10a-octahydro-4-methyl-, (4R,7bS,10aS)-rel- (9CI) (CA  
 INDEX NAME)

Relative stereochemistry.

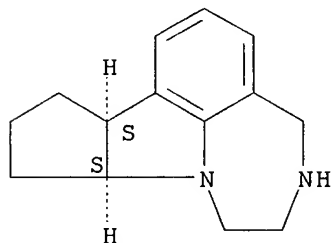


RN 428868-30-8 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
 3-acetyl-1,2,3,4,7b,9,10,10a-octahydro- (9CI) (CA INDEX NAME)



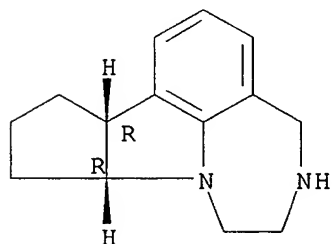
RN 428868-31-9 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
 1,2,3,4,7a,9,10,10a-octahydro-, (7bS,10aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



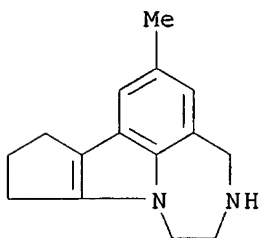
RN 428868-32-0 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
 1,2,3,4,7a,9,10,10a-octahydro-, (7bR,10aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 432049-99-5 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,9,10-hexahydro-6-methyl- (9CI) (CA INDEX NAME)



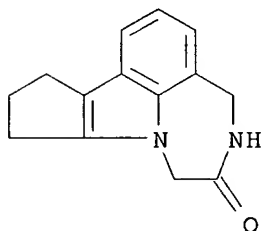
IT 420802-61-5P 420802-85-3P 420802-86-4P  
420802-87-5P 422312-09-2P 422312-10-5P  
428868-33-1P 428868-34-2P 428868-35-3P  
428868-39-7P 428868-42-2P 432050-03-8P  
432050-04-9P 432050-07-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(prepn. of cyclopentadiazepinoindoles as selective 5-HT<sub>2c</sub> receptor  
agonists for treatment of schizophrenia and anxiety and depression and  
obesity)

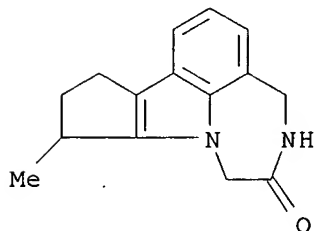
RN 420802-61-5 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepin-2(1H)-one,  
3,4,9,10-tetrahydro- (9CI) (CA INDEX NAME)



RN 420802-85-3 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepin-2(1H)-one,  
3,4,9,10-tetrahydro-10-methyl- (9CI) (CA INDEX NAME)

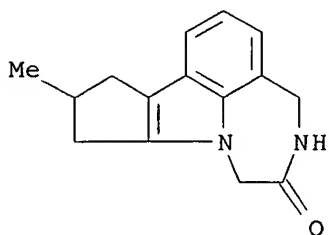


RN 420802-86-4 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepin-2(1H)-one,

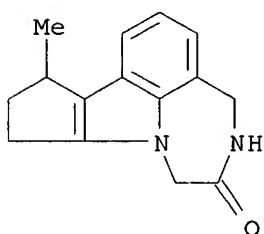
10/016,331

3,4,9,10-tetrahydro-9-methyl- (9CI) (CA INDEX NAME)



RN 420802-87-5 CAPLUS

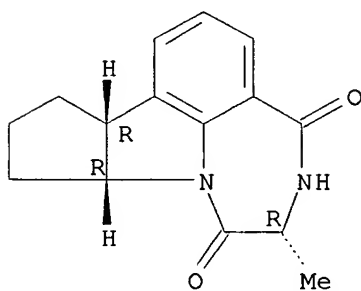
CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepin-2(1H)-one,  
3,4,9,10-tetrahydro-8-methyl- (9CI) (CA INDEX NAME)



RN 422312-09-2 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine-1,4-dione,  
2,3,7b,9,10,10a-hexahydro-2-methyl-, (2R,7bR,10aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

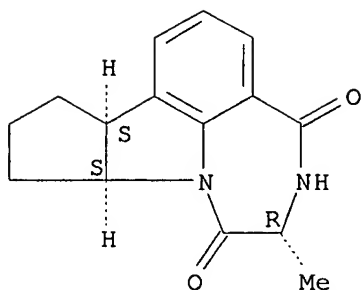


RN 422312-10-5 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine-1,4-dione,  
2,3,7b,9,10,10a-hexahydro-2-methyl-, (2R,7bS,10aS)- (9CI) (CA INDEX NAME)

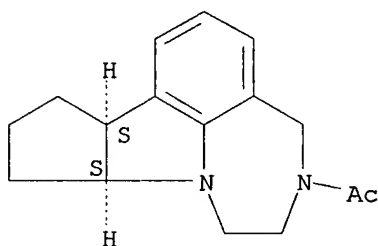
Absolute stereochemistry.





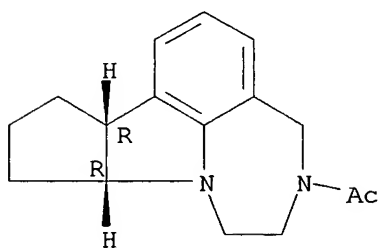
RN 428868-33-1 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
 3-acetyl-1,2,3,4,7b,9,10,10a-octahydro-, (7bS,10aS)- (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry. Rotation (+).



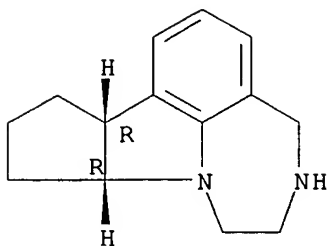
RN 428868-34-2 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
 3-acetyl-1,2,3,4,7b,9,10,10a-octahydro-, (7bR,10aR)- (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry. Rotation (-).



RN 428868-35-3 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
 1,2,3,4,7b,9,10,10a-octahydro-, monohydrochloride, (7bR,10aR)- (9CI) (CA  
 INDEX NAME)

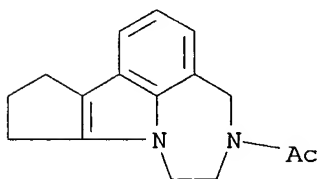
Absolute stereochemistry.



● HCl

RN 428868-39-7 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
3-acetyl-1,2,3,4,9,10-hexahydro- (9CI) (CA INDEX NAME)



RN 428868-42-2 CAPLUS

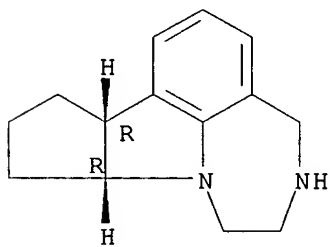
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-, compd. with  
(7bR,10aR)-1,2,3,4,7a,9,10,10a-octahydro-8H-cyclopenta[4,5]pyrrolo[3,2,1-  
jk][1,4]benzodiazepine (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 428868-32-0

CMF C14 H18 N2

Absolute stereochemistry.



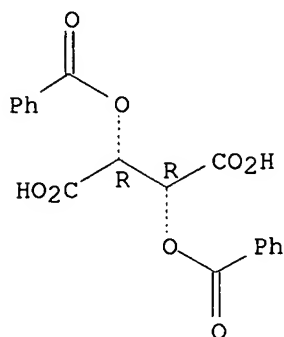
CM 2

CRN 2743-38-6

CMF C18 H14 O8

CDES 1:R2:R\*,R\*

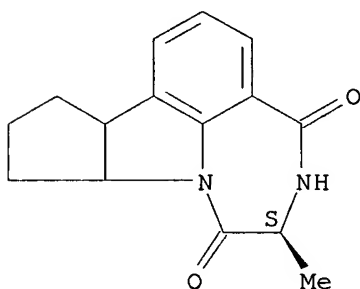
Absolute stereochemistry.



RN 432050-03-8 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine-1,4-dione,  
2,3,7b,9,10,10a-hexahydro-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

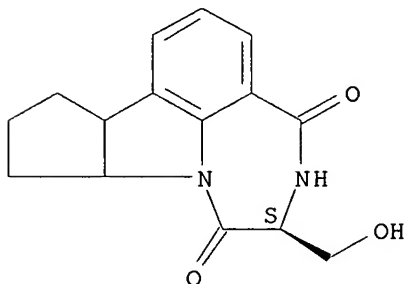
Absolute stereochemistry.



RN 432050-04-9 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine-1,4-dione,  
2,3,7b,9,10,10a-hexahydro-2-(hydroxymethyl)-, (2S)- (9CI) (CA INDEX NAME)

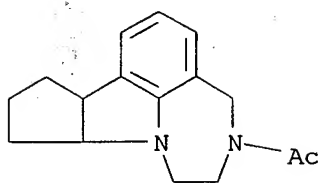
Absolute stereochemistry.



RN 432050-07-2 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
3-acetyl-1,2,3,4,7b,9,10,10a-octahydro-, monohydrochloride (9CI) (CA  
INDEX NAME)

10/016,331



● HCl

DB ANSWER 2 OF 20 CAPLUS COPYRIGHT 2002 ACS

AN 2002:392263 CAPLUS

DN 136:401790

TI Processes for preparation of cyclopenta[b][1,4]diazepino[6,7,1-hi]indoles  
IN Sabb, Annmarie L.; Vogel, Robert L.; Antane, Madelene M.; Raveendranath,  
Panolil; Megati, Sreenivasulu; Smith, Michael D.; Nelson, James A.

PA American Home Products Corporation, USA

SO U.S. Pat. Appl. Publ., 14 pp.

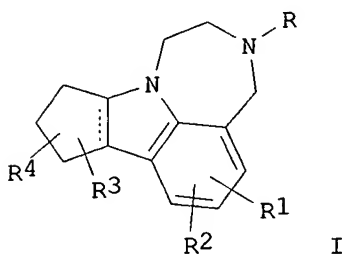
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002062022	A1	20020523	US 2001-16420	20011102
PRAI	US 2000-245954P	P	20001103		
OS	CASREACT 136:401790; MARPAT 136:401790				
GI					



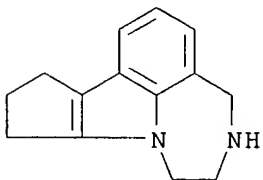
AB The title compds. [I; R = H, alkyl; R1, R2 = H, alkyl, alkoxy, halo, etc.; R3, R4 = H, alkyl, cycloalkyl; the dashed line indicates an optional double bond] and their pharmaceutically acceptable salts, which are serotonin 5-HT<sub>2C</sub> receptor agonists (no biol. data), were prepd. E.g., a multi-step synthesis of 1,2,3,4,9,10-hexahydro-8H-cyclopenta[b][4,1]diazepino[6,7,1-hi]indole, was given.

IT 420802-62-6P 428868-30-8P 428868-33-1P  
428868-34-2P 428868-39-7P 428868-41-1P  
428868-42-2P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(processes for prepn. of cyclopenta[b][1,4]diazepino[6,7,1-hi]indoles)

RN 420802-62-6 CAPLUS

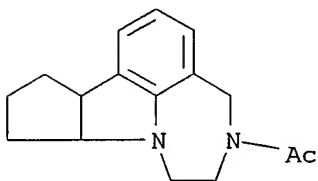
CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,9,10-hexahydro- (9CI) (CA INDEX NAME)



RN 428868-30-8 CAPLUS

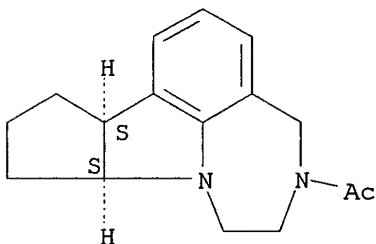
10/016,331

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
3-acetyl-1,2,3,4,7b,9,10,10a-octahydro- (9CI) (CA INDEX NAME)



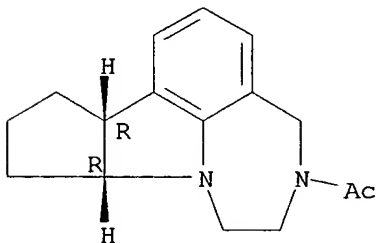
RN 428868-33-1 CAPLUS  
CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
3-acetyl-1,2,3,4,7b,9,10,10a-octahydro-, (7bS,10aS)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry. Rotation (+).



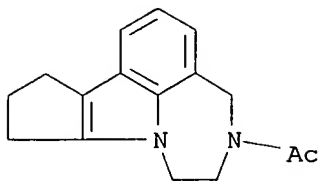
RN 428868-34-2 CAPLUS  
CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
3-acetyl-1,2,3,4,7b,9,10,10a-octahydro-, (7bR,10aR)- (9CI) (CA INDEX  
NAME)

Absolute stereochemistry. Rotation (-).



RN 428868-39-7 CAPLUS  
CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
3-acetyl-1,2,3,4,9,10-hexahydro- (9CI) (CA INDEX NAME)

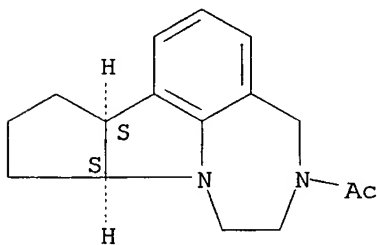
10/016,331



RN 428868-41-1 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
3-acetyl-1,2,3,4,7b,9,10,10a-octahydro-, monohydrochloride, (7bS,10aS)-  
(9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

RN 428868-42-2 CAPLUS

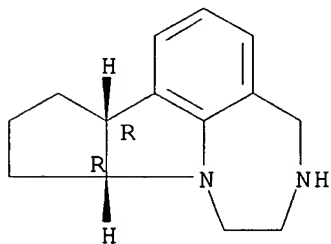
CN Butanedioic acid, 2,3-bis(benzoyloxy)-, (2R,3R)-, compd. with  
(7bR,10aR)-1,2,3,4,7a,9,10,10a-octahydro-8H-cyclopenta[4,5]pyrrolo[3,2,1-  
jk][1,4]benzodiazepine (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 428868-32-0

CMF C14 H18 N2

Absolute stereochemistry.



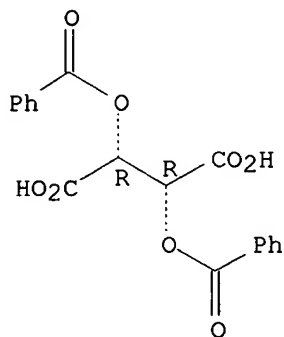
CM 2

CRN 2743-38-6

10/016,331

CMF C18 H14 O8  
CDES 1:R2:R\*,R\*

Absolute stereochemistry.



IT 428868-29-5P 428868-31-9P 428868-32-0P  
428868-35-3P

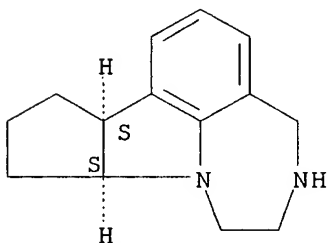
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)

(processes for prepn. of cyclopenta[b][1,4]diazepino[6,7,1-hi]indoles)

RN 428868-29-5 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,7a,9,10,10a-octahydro-, (7bR,10aR)-rel- (9CI) (CA INDEX NAME)

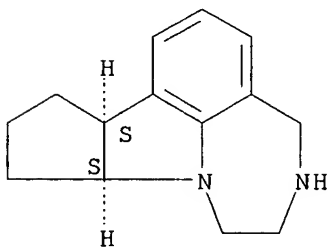
Relative stereochemistry.



RN 428868-31-9 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,7a,9,10,10a-octahydro-, (7bS,10aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



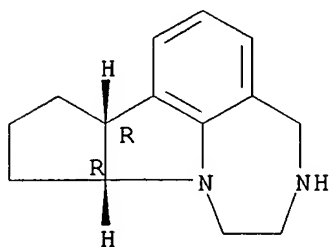


10/016,331

RN 428868-32-0 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,7a,9,10,10a-octahydro-, (7bR,10aR)- (9CI) (CA INDEX NAME)

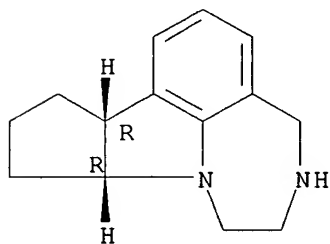
Absolute stereochemistry.



RN 428868-35-3 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,7b,9,10,10a-octahydro-, monohydrochloride, (7bR,10aR)- (9CI) (CA  
INDEX NAME)

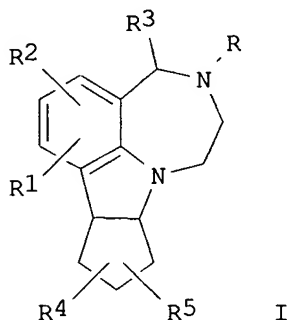
Absolute stereochemistry.



● HCl

AB ANSWER 3 OF 20 CAPLUS COPYRIGHT 2002 ACS  
 AN 2002:368996 CAPLUS  
 DN 136:369746  
 TI Preparation of 1,2,3,4,8,9,10,10a-octahydro-7bH-  
 cyclopenta[b][1,4]diazepino[6,7,1-hi]indoles  
 IN Welmaker, Gregory S.; Sabalski, Joan E.; Smith, Michael D.  
 PA American Home Products Corporation, USA  
 SO U.S. Pat. Appl. Publ., 13 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002058689	A1	20020516	US 2001-16418	20011102
PRAI	US 2000-245843P	P	20001103		
OS	MARPAT 136:369746				
GI					



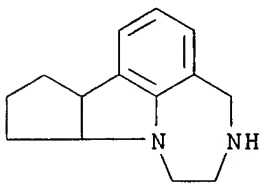
AB Title compds. I [wherein R = H, alkyl, acyl, aryl, aroyl, or -C(O)R'; R' = alkyl or aryl, preferably Ph; R1, R2, R4 and R5 = independently H, OH, (cyclo)alkyl, alkoxy, halo, fluorinated alkyl or alkoxy, CN, alkylsulfonylamino, alkylsulfonamido, alkylamido, (di)alkyl(amino), acyl, aryl, or aroyl; R3 = H, (cyclo)alkyl, alkoxy, fluorinated alkyl, alkylsulfonylamino, alkylsulfonamido, alkylamido, (di)alkyl(amino), fluorinated alkoxy, acyl, aryl, or aroyl; or a pharmaceutically acceptable salt thereof] were prepd. from 2-(2,3,3a,8b-tetrahydro-1H-cyclopenta[b]indol-4-yl)ethylamines. For example, Ph hydrazine was treated with cyclopentanone under std. Fischer-indole conditions to give 1,2,3,4-tetrahydrocyclopenta[b]indole (80%). Hydrogenation using Pd/C in concd. HCl (69%), followed by N-alkylation with 2-chloroacetamide (69%), and redn. using BH3.bul.THF, afforded 2-(2,3,3a,8b-tetrahydrocyclopenta[b]indol-4(1H)-yl)ethylamine. Cycloaddn. of the ethylamine with formaldehyde in EtOH and TFA gave the diazabenzo[cd]cyclopenta[a]azulene I (R-R5 = H). I are 5-hydroxytryptamine 2C (5HT2C) receptor agonists useful for the prevention and treatment of central nervous system disorders (no data).

IT **420802-63-7P 425414-33-1P 425414-34-2P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of octahydrocyclopenta[b][1,4]diazepino[6,7,1-hi]indoles from (tetrahydrocyclopentaindolyl)ethylamines as central nervous system agents)

RN 420802-63-7 CAPLUS

10/016,331

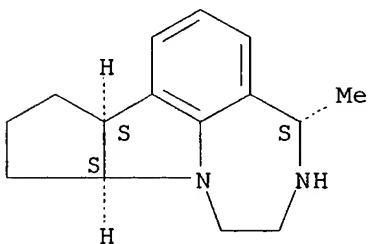
CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,7a,9,10,10a-octahydro- (9CI) (CA INDEX NAME)



RN 425414-33-1 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,7b,9,10,10a-octahydro-4-methyl-, (4R,7bR,10aR)-rel- (9CI) (CA  
INDEX NAME)

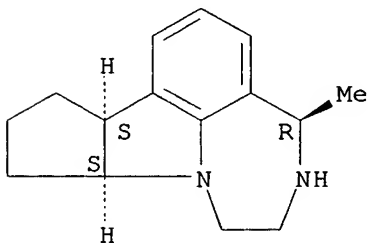
Relative stereochemistry.



RN 425414-34-2 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,7b,9,10,10a-octahydro-4-methyl-, (4R,7bS,10aS)-rel- (9CI) (CA  
INDEX NAME)

Relative stereochemistry.



~~AB~~ ANSWER 4 OF 20 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2002:354096 CAPLUS

DN 136:355364

TI Preparation of cyclopenta[b][1,4]diazepino[6,7,1-hi]indole derivatives for the treatment of central nervous system disorders

IN Welmaker, Gregory S.; Sabalski, Joan E.

PA American Home Products Corporation, USA

SO U.S. Pat. Appl. Publ., 11 pp.

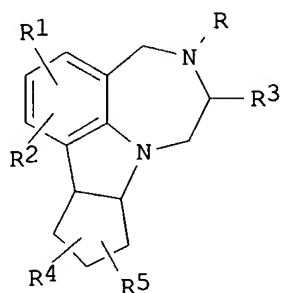
CODEN: USXXCO

DT Patent

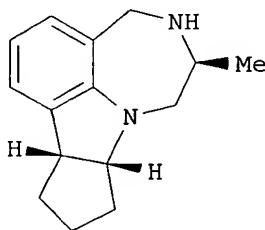
LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002055630	A1	20020509	US 2001-16435	20011102
	US 6414144	B2	20020702		
PRAI	US 2000-245915P	P	20001103		
OS	CASREACT 136:355364; MARPAT 136:355364				
GI					



I



II

AB Cyclopenta[b][1,4]diazepino[6,7,1-hi]indole derivs. of formula I [R = H, alkyl, acyl, or aroyl; R1, R2, R4, R5 = H, OH, alkyl, cycloalkyl, alkoxy, halo, fluorinated alkyl, CN, NHSO2-alkyl, amino, aryl, aroyl, etc.; R3 = H, alkyl, cycloalkyl, alkoxy, etc.] are prepd. The compds. are useful in the treatment of central nervous system disorders (no data). Thus, II was prepd. in 6 steps from 2-hydrazinobenzoic acid hydrochloride, cyclopentanone and L-alanine Et ester.

IT **422311-95-3P 422311-96-4P 422311-97-5P**  
**422311-98-6P 422311-99-7P**

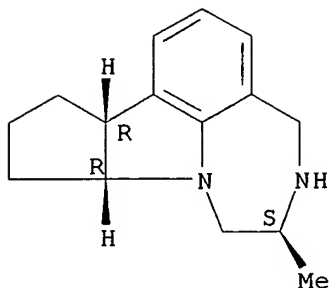
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cyclopenta[b][1,4]diazepino[6,7,1-hi]indole derivs. for the treatment of central nervous system disorders)

RN 422311-95-3 CAPLUS

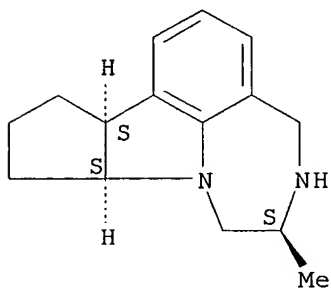
CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine, 1,2,3,4,7b,9,10,10a-octahydro-2-methyl-, (2S,7bR,10aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



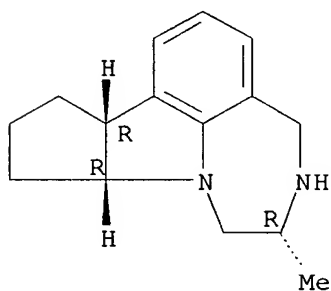
RN 422311-96-4 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
 1,2,3,4,7b,9,10,10a-octahydro-2-methyl-, (2S,7bS,10aS)- (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry. Rotation (+).



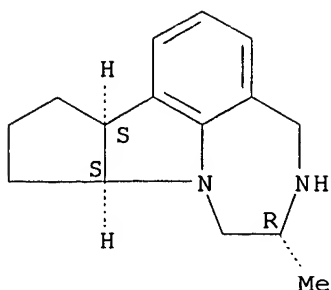
RN 422311-97-5 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
 1,2,3,4,7b,9,10,10a-octahydro-2-methyl-, (2R,7bR,10aR)- (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry. Rotation (-).



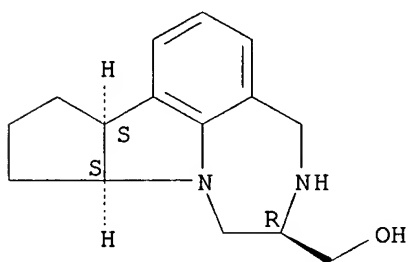
RN 422311-98-6 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
 1,2,3,4,7b,9,10,10a-octahydro-2-methyl-, (2R,7bS,10aS)- (9CI) (CA INDEX  
 NAME)

Absolute stereochemistry.



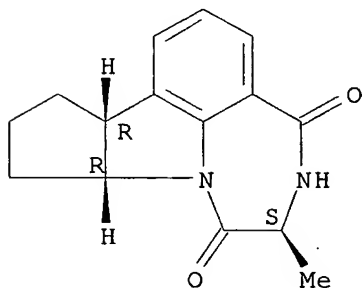
RN 422311-99-7 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine-2-methanol,  
 1,2,3,4,7b,9,10,10a-octahydro-, (2R,7bS,10aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



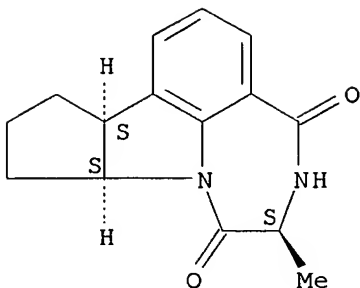
IT 422312-04-7P 422312-05-8P 422312-09-2P  
 422312-10-5P 422312-15-0P 422312-16-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn. of cyclopenta[b][1,4]diazepino[6,7,1-hi]indole derivs. for the  
 treatment of central nervous system disorders)  
 RN 422312-04-7 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine-1,4-dione,  
 2,3,7b,9,10,10a-hexahydro-2-methyl-, (2S,7bR,10aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



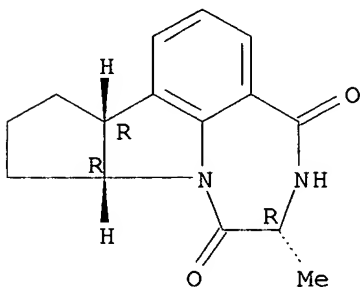
RN 422312-05-8 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine-1,4-dione,  
 2,3,7b,9,10,10a-hexahydro-2-methyl-, (2S,7bS,10aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



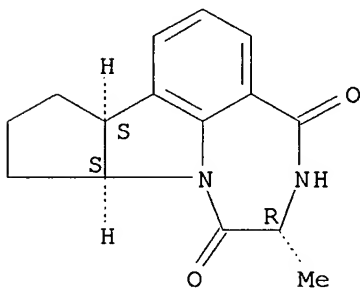
RN 422312-09-2 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine-1,4-dione,  
 2,3,7b,9,10,10a-hexahydro-2-methyl-, (2R,7bR,10aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



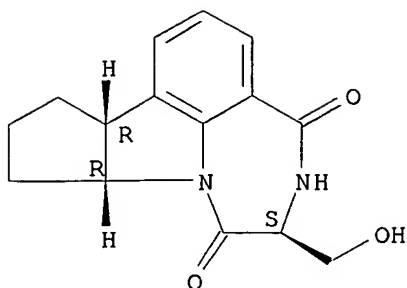
RN 422312-10-5 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine-1,4-dione,  
 2,3,7b,9,10,10a-hexahydro-2-methyl-, (2R,7bS,10aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



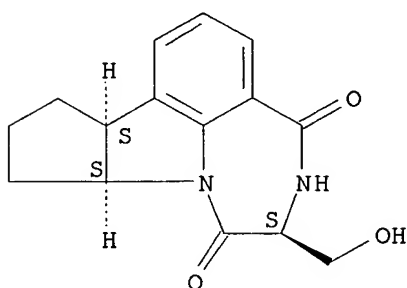
RN 422312-15-0 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine-1,4-dione,  
 2,3,7b,9,10,10a-hexahydro-2-(hydroxymethyl)-, (2S,7bR,10aR)- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.



RN 422312-16-1 CAPLUS  
 CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine-1,4-dione,  
 2,3,7b,9,10,10a-hexahydro-2-(hydroxymethyl)-, (2S,7bS,10aS)- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.





18 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2002 ACS  
 21 2002:354075 CAPLUS  
 DN 136:355253

TI Process for the preparation of 1,2,3,4,8,9,10,10a-octahydro-7bH-cyclopenta[b][1,4]diazepino[6,7,1-hi]indole derivatives

IN Chan, Anita W-y.

PA USA

SO U.S. Pat. Appl. Publ., 16 pp.

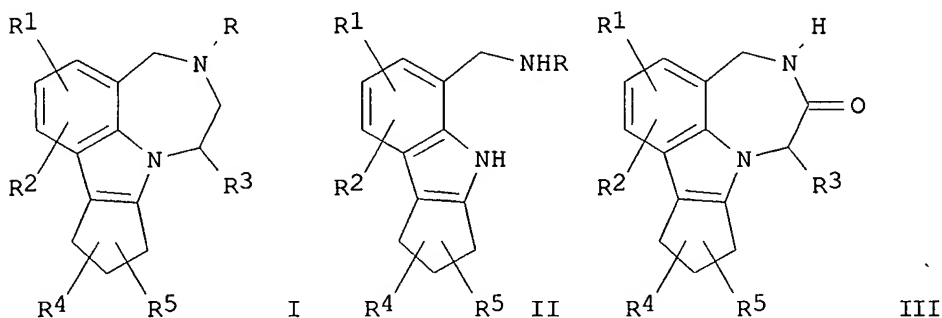
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002055504	A1	20020509	US 2001-16229	20011102
PRAI	US 2000-245591P	P	20001103		
OS	CASREACT 136:355253; MARPAT-136-355253				
GI					



AB This invention provides a process for the prepn. of 1,2,3,4,8,9,10,10a-octahydro-7bH-cyclopenta[b][1,4]diazepino[6,7,1-hi]indole derivs. of the general formula (I) (wherein R = H, alkyl, cycloalkyl, CH<sub>2</sub>-cycloalkyl, acyl, aryl or aroyl; R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>5</sub> = H, hydroxy, alkyl, cycloalkyl, alkoxy, halogen, fluorinated alkyl, cyano, NHSO<sub>2</sub>-alkyl, SO<sub>2</sub>NH-alkyl, alkyl amide, amino, alkylamino, dialkylmino, fluorinated alkoxy, acyl, aryl or aroyl; R<sub>3</sub> = H, alkyl, cycloalkyl, alkoxy, fluorinated alkyl, alkyl sulfonamide, alkyl amide, amino, alkylamino, dialkylmino, fluorinated alkoxy, acyl, aryl or aroyl) or a pharmaceutically acceptable salt thereof, as well as intermediates for their synthesis. A process for prepn. of I comprises acylation of cyclopentaindolemethylamine derivs. (II; R = H; R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>5</sub> = same as above) with LCOCH(R<sub>3</sub>)L (R<sub>3</sub> = same as above; L = a leaving group), cyclization of the resulting II [R = COCH(R<sub>3</sub>)L; L, R<sub>1</sub>, R<sub>2</sub>, R<sub>4</sub>, R<sub>5</sub> = same as above] to diazabenzocyclopenta[a]azulen-6-one derivs. (III; R<sub>1</sub>-R<sub>5</sub> = same as above), and redn. of III to II (R = H; R<sub>1</sub>-R<sub>5</sub> = same as above), followed by optional N-alkylation. These compds. are useful as serotonin 5-hydroxytryptamine 2C (5HT<sub>2C</sub>) receptor agonists for the treatment of central nervous system disorders, including obsessive-compulsive disorder, depression, anxiety, generalized anxiety disorder, schizophrenia, panic disorder, migraine, sleep disorders such as sleep apnea, eating disorders such as hyperphagia, obesity, epilepsy, and spinal cord injury (no data). Thus, a soln. of 1,2,3,4-tetrahydrocyclopenta[b]indol-5-ylmethylamine (100 mg) and pyridine (0.1 mL) in CH<sub>2</sub>Cl<sub>2</sub> (2 mL) was cooled to 0-5.degree. in an

ice-bath, treated with chloroacetyl chloride (62 .mu.L), stirred in the ice-bath for 1 h, warmed to room temp., and stirred for 12 h to give 57% 2-chloro-N-[1,2,3,4-tetrahydrocyclopenta[b]indol-5-ylmethyl]acetamide (IV). A soln. of IV (135 mg) in DMF (3 mL) was added to a suspension of NaH (124 mg) in DMF (3 mL) and allowed to react for 16 h to give 58% 3,4,9,10-tetrahydro-8H-cyclopenta[b][1,4]diazepino[6,7,1-hi]indol-2(1H)-one (V). To a suspension of 67 mg V in 7 mL Et2O was added slowly 28 mg LiAlH4 at room temp. and allowed to react for 16 h to give 70% 3,4,9,10-tetrahydro-8H-cyclopenta[b][4]diazepino[6,7,1-hi]indole, i.e. I (R-R5 = H), which (61 mg) was dissolved in CF3CO2H (2 mL), cooled in an ice-bath, treated slowly with BH3.THF (0.7 mL), and allowed to react for 4 h to give 1,2,3,4,8,9,10,10a-octahydro-7bH-cyclopenta[b][4,1]diazepino[6,7,1-hi]Indole.

IT 420802-63-7P 420802-85-3P 420802-86-4P

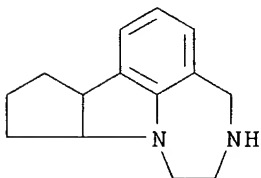
420802-87-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of octahydrocyclo[b][1,4]diazepino[hi]indoles via N-acylation of tetrahydrocyclopentaindolylmethyamines and cyclization of (acylaminomethyl)tetrahydrocyclopentaindoles to tetrahydrocyclopenta[b][1,4]diazepino[6,7,1-hi]indolones)

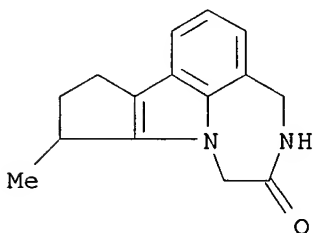
RN 420802-63-7 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,7a,9,10,10a-octahydro- (9CI) (CA INDEX NAME)



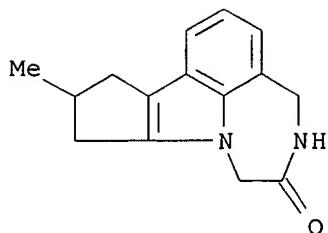
RN 420802-85-3 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepin-2(1H)-one,  
3,4,9,10-tetrahydro-10-methyl- (9CI) (CA INDEX NAME)



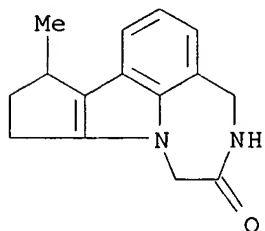
RN 420802-86-4 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepin-2(1H)-one,  
3,4,9,10-tetrahydro-9-methyl- (9CI) (CA INDEX NAME)



RN 420802-87-5 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepin-2(1H)-one,  
3,4,9,10-tetrahydro-8-methyl- (9CI) (CA INDEX NAME)



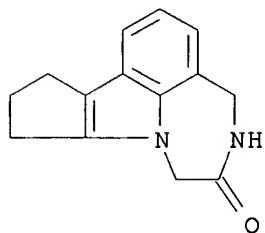
IT 420802-61-5P 420802-62-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(prepn. of octahydrocyclo[b][1,4]diazepino[hi]indoles via N-acylation  
of tetrahydrocyclopentaindolylmethylamines and cyclization of  
(acylaminomethyl)tetrahydrocyclopentaindoles to  
tetrahydrocyclopenta[b][1,4]diazepino[6,7,1-hi]indolones)

RN 420802-61-5 CAPLUS

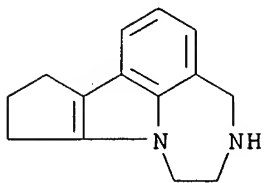
CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepin-2(1H)-one,  
3,4,9,10-tetrahydro- (9CI) (CA INDEX NAME)



RN 420802-62-6 CAPLUS

CN 8H-Cyclopenta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,9,10-hexahydro- (9CI) (CA INDEX NAME)

10/016,331



ANSWER 6 OF 20 CAPLUS COPYRIGHT 2002 ACS

2002:353459 CAPLUS

136:355252

Preparation of diazepinocarbazoles and related compounds as serotonin 5-HT<sub>2C</sub> agonists.

Sabb, Annmarie Louise; Vogel, Robert Lewis; Welmaker, Gregory Scott; Sabalski, Joan Eileen

Wyeth, John, and Brother Ltd., USA

PCT Int. Appl., 47 pp.

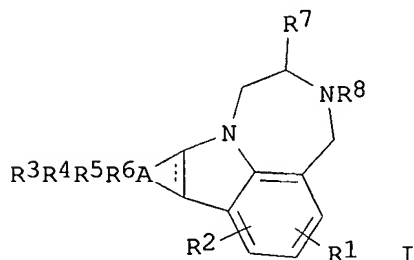
CODEN: PIXXD2

Patent

English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002036596	A2	20020510	WO 2001-US46084	20011101
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002086860	A1	20020704	US 2001-17738	20011102
PRAI	US 2000-245598P	P	20001103		
	US 2000-245599P	P	20001103		
	US 2000-245602P	P	20001103		
OS	MARPAT 136:355252				
GI					



AB A method of treatment of obsessive-compulsive disorder, obesity, eating disorders, sleeping disorders, migraine, depression, generalized anxiety disorder, schizophrenia, panic disorder, migraine, epilepsy or anxiety in a mammal, the method comprises administration of title compds. (I; A = 6-8 membered cycloalkyl ring; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, cycloalkyl, cycloalkylmethyl, alkoxy, halo, fluoroalkyl, cyano, alkylaminosulfonyl, amino, fluoroalkoxy, aroyl, heteroaroyl etc.; R<sub>3</sub>-R<sub>6</sub> = H, alkyl, cycloalkyl, cycloalkylmethyl, alkoxy, cycloalkoxy; R<sub>7</sub>, R<sub>8</sub> = H, alkyl; dashed line = optional double bond). Thus, 4-acetyl-2,3,4,5-tetrahydro-1H-1,4-benzodiazepine (prepn. given) in aq. HCl was treated with NaNO<sub>2</sub> under ice cooling to give an oil which in HOAc was treated with Zn. The resulting mixt. was filtered into a flask contg. cyclohexanone followed by heating for 1.5 h to give 3-acetyl-1,2,3,4,8,9,10,11-octahydro[1,4]diazepino[6,7,1-jk]carbazole.

The latter was refluxed 4 h with conc. HCl to give 1,2,3,4,8,9,10,11-octahydro[1,4]diazepino[6,7,1-jk]carbazole hydrochloride. This reduced food intake in rats with ED50 = 20.86 mg/kg i.p.

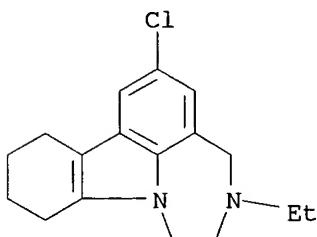
IT 57716-82-2P 57756-44-2P 57756-45-3P  
 57756-54-4P 59705-12-3P 422318-14-7P  
 422318-15-8P 422318-16-9P 422318-17-0P  
 422318-18-1P 422318-19-2P 422318-20-5P  
 422318-21-6P 422318-22-7P 422318-23-8P  
 422318-24-9P 422318-25-0P 422318-26-1P  
 422318-27-2P 422318-28-3P 422318-29-4P  
 422318-30-7P 422318-33-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of diazepinocarbazoles and related compds. as serotonin 5HT<sub>2C</sub> agonists)

RN 57716-82-2 CAPLUS

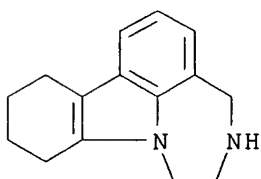
CN [1,4]Diazepino[6,7,1-jk]carbazole, 6-chloro-3-ethyl-1,2,3,4,8,9,10,11-octahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 57756-44-2 CAPLUS

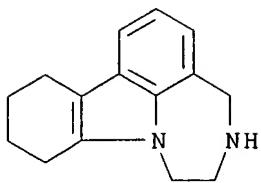
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-, monohydrochloride (9CI) (CA INDEX NAME)



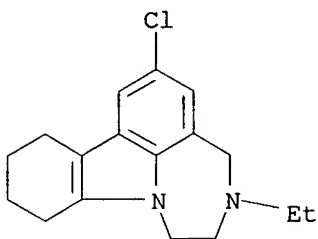
● HCl

RN 57756-45-3 CAPLUS

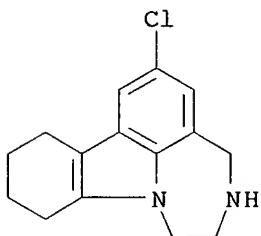
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro- (9CI) (CA INDEX NAME)



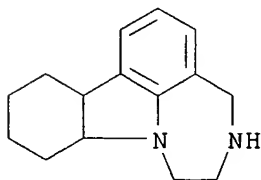
RN 57756-54-4 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 6-chloro-3-ethyl-1,2,3,4,8,9,10,11-octahydro- (9CI) (CA INDEX NAME)



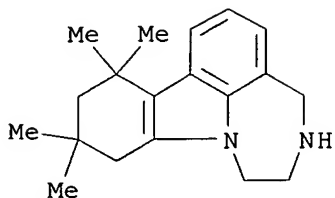
RN 59705-12-3 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 6-chloro-1,2,3,4,8,9,10,11-octahydro- (9CI) (CA INDEX NAME)



RN 422318-14-7 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,7b,8,9,10,11,11a-decahydro- (9CI) (CA INDEX NAME)

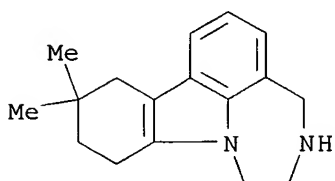


RN 422318-15-8 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-8,8,10,10-tetramethyl- (9CI) (CA INDEX NAME)



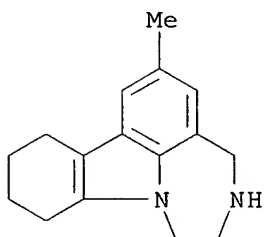
RN 422318-16-9 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-9,9-dimethyl- (9CI) (CA INDEX NAME)



RN 422318-17-0 CAPLUS

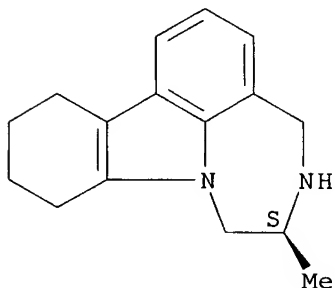
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-6-methyl- (9CI) (CA INDEX NAME)



RN 422318-18-1 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 422318-19-2 CAPLUS

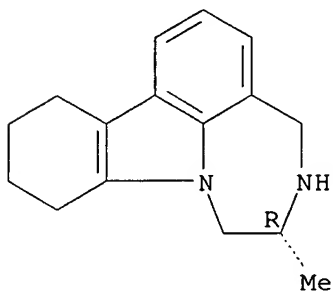
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-2-methyl-,



10/016,331

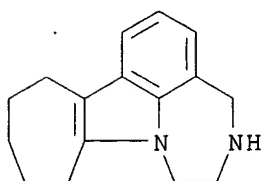
(2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



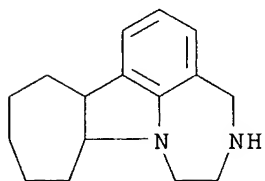
RN 422318-20-5 CAPLUS

CN 8H-Cyclohepta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,9,10,11,12-octahydro- (9CI) (CA INDEX NAME)



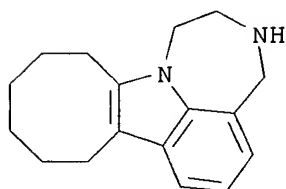
RN 422318-21-6 CAPLUS

CN 8H-Cyclohepta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,7b,9,10,11,12,12a-decahydro- (9CI) (CA INDEX NAME)



RN 422318-22-7 CAPLUS

CN Cycloocta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,  
1,2,3,4,8,9,10,11,12,13-decahydro- (9CI) (CA INDEX NAME)

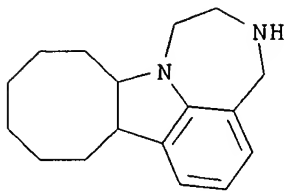


RN 422318-23-8 CAPLUS

CN Cycloocta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine,

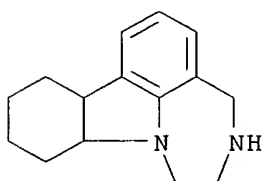
10/016,331

1,2,3,4,7b,8,9,10,11,12,13,13a-dodecahydro- (9CI) (CA INDEX NAME)



RN 422318-24-9 CAPLUS

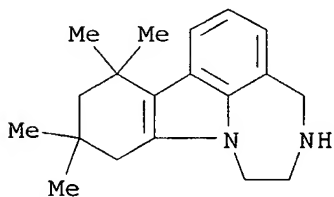
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,7b,8,9,10,11,11a-decahydro-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 422318-25-0 CAPLUS

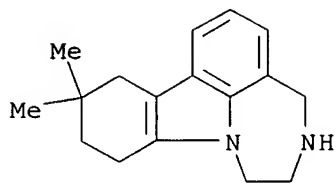
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-8,8,10,10-tetramethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

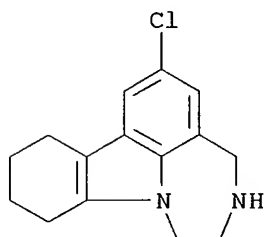
RN 422318-26-1 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-9,9-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



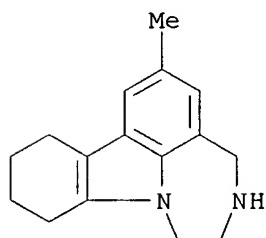
● HCl

RN 422318-27-2 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 6-chloro-1,2,3,4,8,9,10,11-octahydro-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 422318-28-3 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-6-methyl-,  
monohydrochloride (9CI) (CA INDEX NAME)

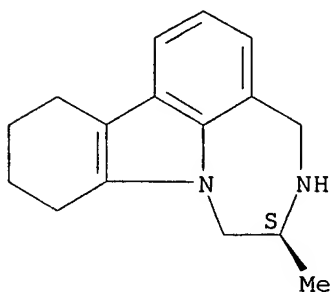


● HCl

RN 422318-29-4 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-2-methyl-,  
monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

10/016,331

Absolute stereochemistry.

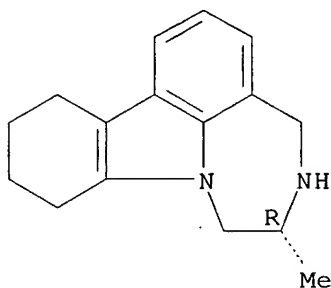


● HCl

RN 422318-30-7 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-2-methyl-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

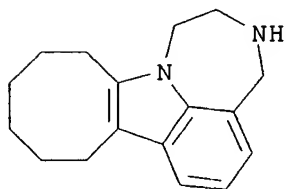
Absolute stereochemistry.



● HCl

RN 422318-33-0 CAPLUS

CN Cycloocta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine, 1,2,3,4,8,9,10,11,12,13-decahydro-, monohydrochloride (9CI) (CA INDEX NAME)



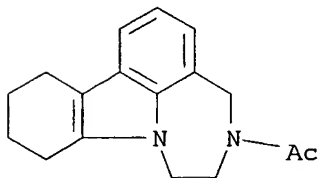
HCl

IT 57756-41-9P 57756-42-0P 422318-34-1P  
422318-37-4P 422318-41-0P 422318-44-3P  
422318-45-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. of diazepinocarbazoles and related compds. as serotonin 5HT<sub>2C</sub>  
agonists)

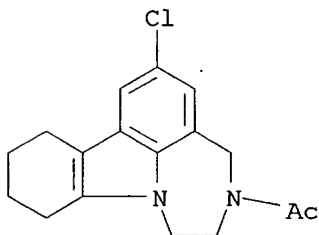
RN 57756-41-9 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-acetyl-1,2,3,4,8,9,10,11-octahydro-  
(9CI) (CA INDEX NAME)



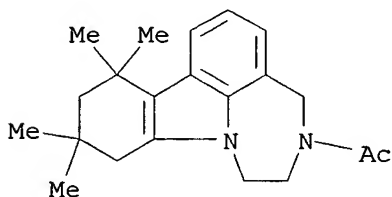
RN 57756-42-0 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-acetyl-6-chloro-1,2,3,4,8,9,10,11-  
octahydro- (9CI) (CA INDEX NAME)



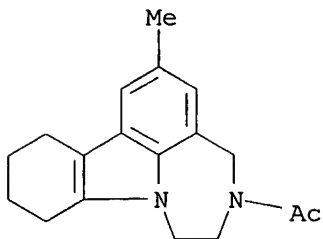
RN 422318-34-1 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-acetyl-1,2,3,4,8,9,10,11-octahydro-  
8,8,10,10-tetramethyl- (9CI) (CA INDEX NAME)



RN 422318-37-4 CAPLUS

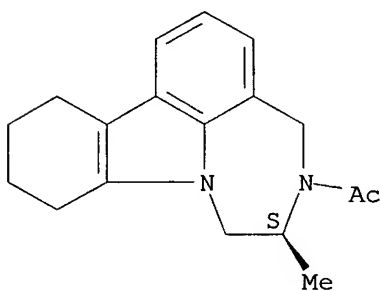
CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-acetyl-1,2,3,4,8,9,10,11-octahydro-6-  
methyl- (9CI) (CA INDEX NAME)



RN 422318-41-0 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-acetyl-1,2,3,4,8,9,10,11-octahydro-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

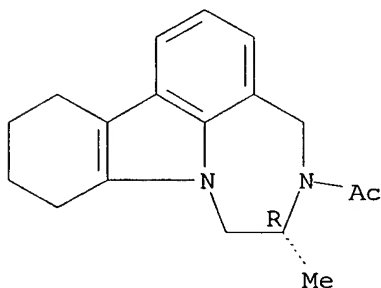
Absolute stereochemistry.



RN 422318-44-3 CAPLUS

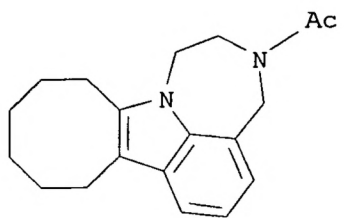
CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-acetyl-1,2,3,4,8,9,10,11-octahydro-2-methyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 422318-45-4 CAPLUS

CN Cycloocta[4,5]pyrrolo[3,2,1-jk][1,4]benzodiazepine, 3-acetyl-1,2,3,4,8,9,10,11,12,13-decahydro- (9CI) (CA INDEX NAME)



ANSWER 7 OF 20 CAPLUS COPYRIGHT 2002 ACS

2001:453066 CAPLUS

135:61239

Preparation of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases

Al-Awar, Rima Salim; Hecker, Kyle Andrew; Huang, Jianping; Joseph, Sajan; Li, Tiechao; Paal, Michael; Rathnachalam, Radhakrishnan; Ray, James Edward; Shih, Chuan; Waid, Philip Parker; Zhou, Xun; Zhu, Guoxin

Eli Lilly and Company, USA

PCT Int. Appl., 261 pp.

CODEN: PIXXD2

Patent

English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001044247	A2	20010621	WO 2000-US33273	20001218
	WO 2001044247	A3	20020103		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 1999-171087P	P	19991216		
	US 1999-171220P	P	19991216		
OS	CASREACT 135:61239; MARPAT 135:61239				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The title compds. [I; A, B = O, S; X, Y = H; or X and Y, taken together, form a bond; R1 = H, alkyl; R2 = halo, CN, alkyl, etc.; R3 = aryl, heteroaryl, etc.; R4 = H, alkyl, etc.; R5 = halo, CN, alkyl, etc.; R6 = alkyl; R7 = alkoxycarbonyl, (CH<sub>2</sub>)<sub>m</sub>Z (m = 0-5; Z = halo, OH, etc.); Q1 = O, SOn (n = 0-2), (CH<sub>2</sub>)<sub>1-3</sub>; Q2 = carbon-carbon single or double bond, etc.; Q3 = (CH<sub>2</sub>)<sub>1-3</sub>], useful for inhibiting CDK4, were prepd. and formulated. E.g., a multi-step synthesis of II which showed activity (0.1055 .mu.M) in assay of cyclin D1-CDK4 kinase with the ING peptide as substrate, and also was found to inhibit cell growth and Rb (retinoblastoma protein) phosphorylation, was given.

345261-34-9P 345262-55-7P 345262-56-8P

345262-59-1P 345262-63-7P 345262-82-0P

345262-85-3P 345262-98-8P 345263-00-5P

345263-02-7P 345263-06-1P 345263-22-1P

345263-25-4P 345263-28-7P 345263-32-3P

345263-34-5P 345263-38-9P 345263-44-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)



(prepn. of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)

RN 345261-34-9 CAPLUS  
 CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-2-propanoic acid, 3-[(1,1-dimethylethoxy)carbonyl]-1,2,3,4,8,9,10,15-octahydro-8,10-dioxo-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345262-55-7 CAPLUS  
 CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-3(4H)-carboxylic acid, 2-[(1,1-dimethylethoxy)methyl]-1,2,8,9,10,15-hexahydro-8,10-dioxo-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345262-56-8 CAPLUS  
 CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 2-[(1,1-dimethylethoxy)methyl]-1,2,3,4-tetrahydro-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345262-59-1 CAPLUS  
 CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-3(4H)-carboxylic acid, 1,2,8,9,10,15-hexahydro-2-methyl-8,10-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345262-63-7 CAPLUS  
 CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-3(4H)-carboxylic acid, 1,2,8,9,10,15-hexahydro-2-[(4-hydroxyphenyl)methyl]-8,10-dioxo-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345262-82-0 CAPLUS  
 CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-3(4H)-carboxylic acid, 1,2,8,9,10,15-hexahydro-8,10-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345262-85-3 CAPLUS  
 CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-3(4H)-carboxylic acid, 13-fluoro-1,2,8,9,10,15-hexahydro-8,10-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345262-98-8 CAPLUS  
 CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-3(4H)-carboxylic acid, 2-[4-[(1,1-dimethylethoxy)carbonyl]methylamino]butyl]-1,2,8,9,10,15-hexahydro-8,10-dioxo-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-00-5 CAPLUS  
 CN Carbamic acid, [(1S)-2-(1,2,8,9,10,15-hexahydro-2-methyl-8,10-dioxo[1,4]diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazol-3(4H)-yl)-1-methyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-02-7 CAPLUS

CN Carbamic acid, [(1S)-2-(1,2,8,9,10,15-hexahydro-2-methyl-8,10-dioxo[1,4]diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazol-3(4H)-yl)-2-oxo-1-(3-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-06-1 CAPLUS

CN Carbamic acid, [(1S)-2-(1,2,8,9,10,15-hexahydro-8,10-dioxo[1,4]diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazol-3(4H)-yl)-2-oxo-1-(3-pyridinylmethyl)ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-22-1 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-3(4H)-carboxylic acid, 1,2,8,9,10,15-hexahydro-15-methyl-8,10-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-25-4 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-3(4H)-carboxylic acid, 1,2,8,9,10,15-hexahydro-8,10-dioxo-12-phenoxy-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-28-7 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-3(4H)-carboxylic acid, 12,13-difluoro-1,2,8,9,10,15-hexahydro-8,10-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-32-3 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-3(4H)-carboxylic acid, 1,2,8,9,10,15-hexahydro-8,10-dioxo-13-(trifluoromethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-34-5 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-3(4H)-carboxylic acid, 12-fluoro-1,2,8,9,10,15-hexahydro-8,10-dioxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-38-9 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-3(4H)-carboxylic acid, 1,2,8,9,10,15-hexahydro-8,10-dioxo-14-[2-[[tris(1-methylethyl)silyl]oxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-44-7 CAPLUS

CN Carbamic acid, [2-(1,2,8,9,10,15-hexahydro-8,10-dioxo[1,4]diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazol-3(4H)-yl)-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT 345262-57-9P 345262-60-4P 345262-64-8P

345262-83-1P 345262-86-4P 345262-99-9P  
 345263-01-6P 345263-03-8P 345263-05-0P  
 345263-07-2P 345263-08-3P 345263-09-4P  
 345263-10-7P 345263-11-8P 345263-12-9P  
 345263-23-2P 345263-26-5P 345263-29-8P  
 345263-33-4P 345263-35-6P 345263-39-0P  
 345263-40-3P 345263-41-4P 345263-42-5P  
 345263-43-6P 345263-45-8P 345263-91-4P  
 345263-93-6P 345263-96-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)

RN 345262-57-9 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 1,2,3,4-tetrahydro-2-(hydroxymethyl)-, monohydrochloride, (2R)-(9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345262-60-4 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 1,2,3,4-tetrahydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345262-64-8 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 1,2,3,4-tetrahydro-2-[(4-hydroxyphenyl)methyl]-, monohydrochloride, (2S)-(9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345262-83-1 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 1,2,3,4-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345262-86-4 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 13-fluoro-1,2,3,4-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345262-99-9 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 1,2,3,4-tetrahydro-2-[4-(methylamino)butyl]-, dihydrochloride, (2S)-(9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-01-6 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 3-[(2S)-2-amino-1-oxopropyl]-1,2,3,4-tetrahydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-03-8 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-

dione, 3-[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]-1,2,3,4-tetrahydro-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-05-0 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 3-[(2S)-2,6-diamino-1-oxohexyl]-1,2,3,4-tetrahydro-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-07-2 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 3-[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]-1,2,3,4-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-08-3 CAPLUS

CN 11H,13H,15H-Indolo[2,3-a]oxazolo[4',3':3,4][1,4]diazepino[6,7,1-jk]pyrrolo[3,4-c]carbazole-5,7,13(6H,18H)-trione, 15a,16-dihydro-, (15aR)-(9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-09-4 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 1,2,3,4-tetrahydro-3-(methylsulfonyl)-2-[[ (methylsulfonyl)oxy]methyl]-, (2R)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-10-7 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 1,2,3,4-tetrahydro-2-(hydroxymethyl)-3-(4-pyridinylcarbonyl)-, (2R)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-11-8 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-2-propanoic acid, 1,2,3,4,8,9,10,15-octahydro-8,10-dioxo-, methyl ester, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-12-9 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-2-propanoic acid, 1,2,3,4,8,9,10,15-octahydro-8,10-dioxo-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-23-2 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 1,2,3,4-tetrahydro-15-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-26-5 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 1,2,3,4-tetrahydro-12-phenoxy-, monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

10/016,331

RN 345263-29-8 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-  
dione, 12,13-difluoro-1,2,3,4-tetrahydro-, monohydrochloride (9CI) (CA  
INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-33-4 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-  
dione, 1,2,3,4-tetrahydro-13-(trifluoromethyl)-, monohydrochloride (9CI)  
(CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-35-6 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-  
dione, 12-fluoro-1,2,3,4-tetrahydro-, monohydrochloride (9CI) (CA INDEX  
NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-39-0 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-  
dione, 1,2,3,4-tetrahydro-14-(2-hydroxyethyl)-, monohydrochloride (9CI)  
(CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-40-3 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-  
dione, 1,2,3,4-tetrahydro-3-(methylsulfonyl)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-41-4 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-  
dione, 1,2,3,4-tetrahydro-3-[(1-methyl-1H-imidazol-4-yl)sulfonyl]-,  
monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-42-5 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-  
dione, 1,2,3,4-tetrahydro-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-43-6 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-  
dione, 1,2,3,4-tetrahydro-3-(1-methylethyl)-, monomethanesulfonate (9CI)  
(CA INDEX NAME)

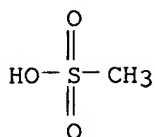
CM 1

CRN 345263-42-5  
CMF C26 H22 N4 O2

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 75-75-2  
CMF C H4 O3 S



RN 345263-45-8 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 3-(aminoacetyl)-1,2,3,4-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-91-4 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 1,2,3,4-tetrahydro-14-(3-hydroxypropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-93-6 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 1,2,3,4-tetrahydro-13-(3-hydroxypropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345263-96-9 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 1,2,3,4-tetrahydro-14-(hydroxymethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT **345265-34-1 345265-35-2 345265-36-3**  
**345265-37-4 345265-38-5**

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of 11H,12H,14H-pyrrolo[3,4-c]quinolino[8',8a',1':3,2,1]-pyrrolo[2,3-a]carbazole-5,7-diones for the treatment of proliferative diseases)

RN 345265-34-1 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 1,2,3,4-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345265-35-2 CAPLUS

CN Carbamic acid, [(1S)-1-[(1,2,8,9,10,15-hexahydro-2-methyl-8,10-dioxo[1,4]diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazol-3(4H)-yl)carbonyl]-1,5-pentanediy]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345265-36-3 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-dione, 1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345265-37-4 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-3(4H)-carboxylic acid, 1,2,8,9,10,15-hexahydro-2-(hydroxymethyl)-8,10-dioxo-, 1,1-dimethylethyl ester, (2R)- (9CI) (CA INDEX NAME)

10/016,331

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 345265-38-5 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H,15H)-  
dione, 1,2,3,4-tetrahydro-2-(hydroxymethyl)-, (2R)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

~~LS~~ ANSWER 8 OF 20 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 2001:453056 CAPLUS

DN 135:61238

TI Preparation of maleimide and carbazole derivatives for the treatment of proliferative diseases

IN Al-Awar, Rima Salim; Hecker, Kyle Andrew; Huang, Jianping; Joseph, Sajan; Ray, James Edward; Waid, Philip Parker

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001044235	A2	20010621	WO 2000-US33274	20001218
	WO 2001044235	A3	20020117		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 1999-171219P	P	19991216		
	US 1999-171269P	P	19991216		
OS	MARPAT 135:61238				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; A, B = O, S; X, Y = H; or X and Y, taken together, form a bond; R1 = H, alkyl; R5, R51 = halo, CN, alkyl, etc.; R6, R61 = alkyl; R7, R71 = alkoxy carbonyl, (CH<sub>2</sub>)<sub>m</sub>Z; Z = halo, OH, CO<sub>2</sub>H, etc.; Q1, Q6 = O, SOn, (CH<sub>2</sub>)<sub>1-3</sub>; Q2, Q5 = carbon-carbon single or double bond, NH, etc.; Q3, Q4 = (CH<sub>2</sub>)<sub>1-3</sub>; m = 0-5; n = 0-2], useful for inhibiting CDK4, were prep'd. and formulated. E.g., a multi-step synthesis of II.HCl which showed activity (0.6051 .mu.M) in assay of cyclin D1-cdk4 kinase with the ING peptide as substrate, was given. Some of compds. I were found to inhibit cell growth and to inhibit Rb (retinoblastoma protein) phosphorylation.

IT **345333-99-5P 345334-05-6P 345334-17-0P**  
**345334-29-4P**

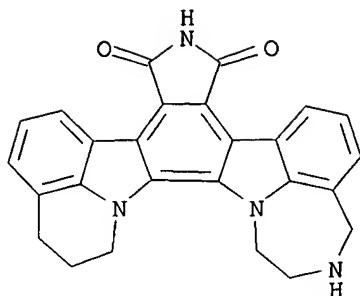
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of maleimide and carbazole derivs. for the treatment of proliferative diseases)

RN 345333-99-5 CAPLUS

CN 8H,14H-[1,4]Diazepino[6,7,1-jk]pyrido[1',2',3':1,7]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H)-dione, 1,2,3,4,15,16-hexahydro-, monohydrochloride (9CI) (CA INDEX NAME)

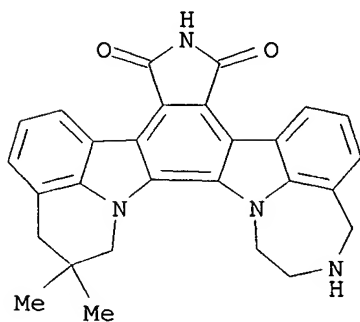




● HCl

RN 345334-05-6 CAPLUS

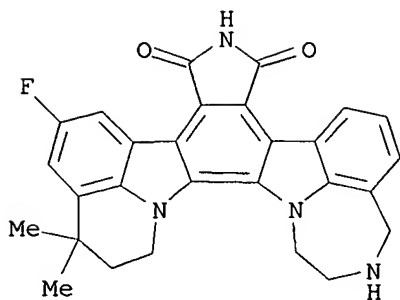
CN 8H,14H-[1,4]Diazepino[6,7,1-jk]pyrido[1',2',3':1,7]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H)-dione, 1,2,3,4,15,16-hexahydro-15,15-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

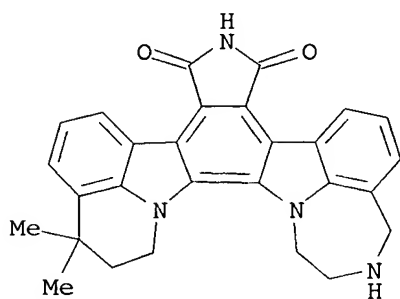
RN 345334-17-0 CAPLUS

CN 8H,14H-[1,4]Diazepino[6,7,1-jk]pyrido[1',2',3':1,7]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H)-dione, 12-fluoro-1,2,3,4,15,16-hexahydro-14,14-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



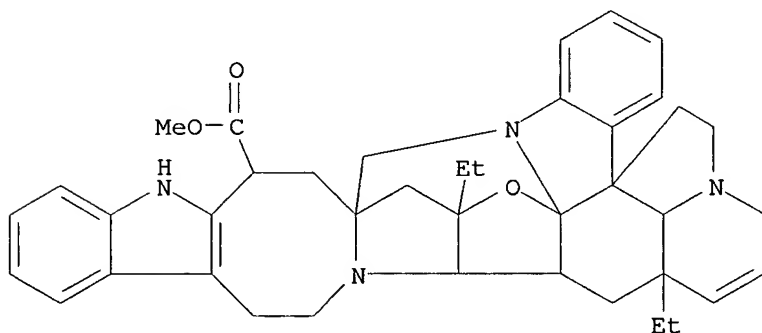
● HCl

RN 345334-29-4 CAPLUS  
 CN 8H,14H-[1,4]Diazepino[6,7,1-jk]pyrido[1',2',3':1,7]indolo[2,3-a]pyrrolo[3,4-c]carbazole-8,10(9H)-dione, 1,2,3,4,15,16-hexahydro-14,14-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

18 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2002 ACS  
AN 1988:204858 CAPLUS  
DN 108:204858  
TI Carbon-13 NMR spectroscopy of indole derivatives  
AU Morales-Rios, M. S.; Espineira, J.; Joseph-Nathan, P.  
CS Cent. Invest. Estud. Avanzados, Inst. Politec. Nac., Mexico City, 07000, Mex.  
SO Magn. Reson. Chem. (1987), 25(5), 377-95  
CODEN: MRCHEG; ISSN: 0749-1581  
DT Journal  
LA English  
AB The chem. shifts of 298 naturally occurring and synthetic compds. contg. the indole chromophoric group are listed. Substituent effects on  $^{13}\text{C}$  chem. shifts (SCS) induced by substitution on the heteroarom. five-membered ring are discussed. The data provide a ref. set for future  $^{13}\text{C}$  NMR investigations and highlight the need for unambiguous exptl. evidence to resolve controversial assignments for differently substituted representative indole derivs. Many original assignments have been changed, and values not considered to be unambiguously assigned are delineated. The  $1J(\text{CH})$  values for the parent indole were measured.  
IT **84732-47-8**  
RL: RCT (Reactant)  
(carbon-13 NMR chem. shifts of)  
RN 84732-47-8 CAPLUS  
CN 3,7-Secoervafoline, 14',15'-deepoxy-2,7,14',15'-tetrahydro-2,16-dihydro-, (16.alpha.)- (9CI) (CA INDEX NAME)



~~IS~~ ANSWER 10 OF 20 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1984:526863 CAPLUS

DN 101:126863

TI Indole alkaloids from *Stenosolen heterophyllus*: tabernamine and isotabernamine

AU Kan, Christiane; Henriques, Amelia; Jasor, Yves; Moretti, Christian; Husson, Henri Philippe

CS Inst. Chim. Subst. Nat., CNRS, Gif-sur-Yvette, 91190, Fr.

SO J. Nat. Prod. (1984), 47(3), 478-81

CODEN: JNPRDF; ISSN: 0163-3864

DT Journal

LA French

AB Seventeen known indole alkaloids were isolated from *S. heterophyllus* (Apocynaceae). Spectral analyses and partial synthesis confirmed the previously proposed structure of tabernamine, a dimeric alkaloid of the voacamine type. Isotabernamine, an isomeric compd. at position C-10, was formed along with tabernamine in the condensation of vobasinol and ibogamine.

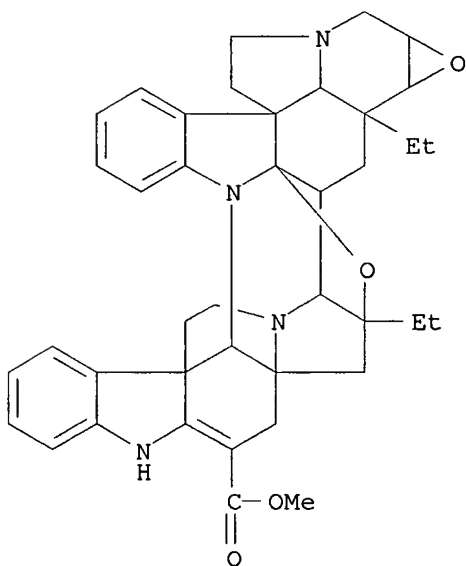
IT 70545-44-7 77784-39-5 77784-40-8

77794-87-7

RL: BIOL (Biological study)  
(from *Stenosolen heterophyllus*)

RN 70545-44-7 CAPLUS

CN 13a,20a,23-Metheno-8H,10H,23H-indolo[2''',3''':5'',6'']azocino[1'',2'':1',5']pyrrolo[2',3':4,5]furo[2,3-m]oxireno[6,7]indolizino[8,1-cd]carbazole-19-carboxylic acid, 9b,21a-diethyl-5,6,8a,9a,9b,9c,10a,10b,12,13,18,20,21,21a-tetradecahydro-, methyl ester, (4bR,8aR,9aS,9bS,9cS,10aS,10bS,13aS,20aS,21aS,22aR,23S,24S)- (9CI) (CA INDEX NAME)



RN 77784-39-5 CAPLUS

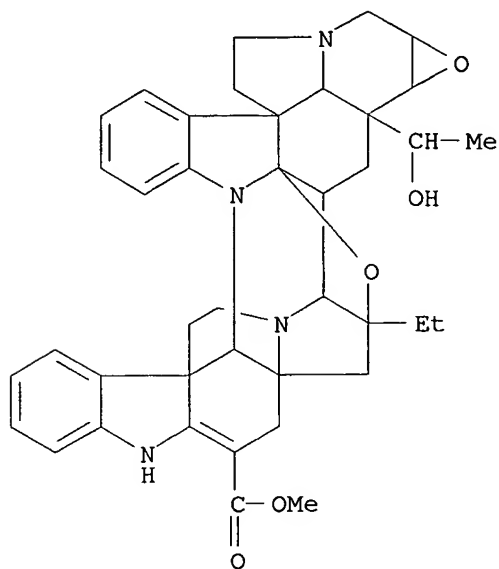
CN 14a,21a,24-Metheno-8H,11H,24H-indolizino[8,1-cd]indolo[2''',3''':5'',6'']azocino[1'',2'':1',5']pyrrolo[2',3':4,5]furo[2,3-m]carbazole-20-carboxylic acid, 10a,22a-diethyl-5,6,10a,10b,11a,11b,13,14,19,21,22,22a-dodecahydro-, methyl ester, (4bR,10aR,10bS,11aS,11bS,14aS,21aS,22aS,23aR,25S)- (9CI) (CA INDEX NAME)

10/016,331

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 77784-40-8 CAPLUS

CN Ervafoline, 19'-hydroxy- (9CI) (CA INDEX NAME)

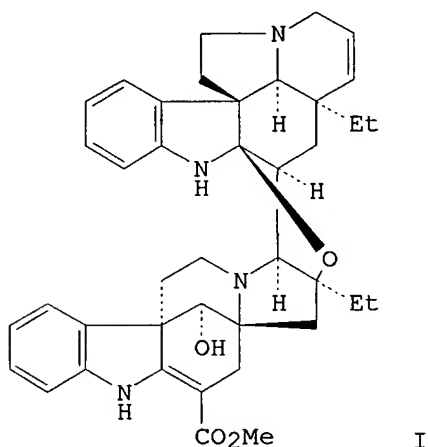


RN 77794-87-7 CAPLUS

CN Ervafoline, 14',15'-deepoxy-14',15'-didehydro-19'-hydroxy- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

DB ANSWER 11 OF 20 CAPLUS COPYRIGHT 2002 ACS  
 AN 1983:104293 CAPLUS  
 DN 98:104293  
 TI Bisindole alkaloids of *Pandaca caducifolia*  
 AU Zeches, Monique; Lukacs, Gabor; Massiot, Georges; Le Men-Olivier,  
 Louisette  
 CS Fac. Pharm., Reims, Fr.  
 SO J. Nat. Prod. (1982), 45(6), 707-13  
 CODEN: JNPRDF; ISSN: 0163-3864  
 DT Journal  
 LA English  
 GI



AB Two novel bisindole alkaloids were isolated from *P. caducifolia*,  
 ervafolidene (I) and epi-ervafolidene. Their structures were established  
 by spectral anal. (esp.  $^{13}\text{C}$  NMR) and by comparison with the known alkaloid  
 ervafoline (II), also isolated from the plant. Several unusual reactions  
 of II are described, among which is a rearrangement pertaining to the  
 pandoline moiety of the mol.

IT **77784-39-5**

RL: BIOL (Biological study)  
 (of *Pandaca caducifolia*, properties of)

RN 77784-39-5 CAPLUS

CN 14a,21a,24-Metheno-8H,11H,24H-indolizino[8,1-cd]indolo[2''',3''':5'',6'']a  
 zocino[1'',2'':1',5']pyrrolo[2',3':4,5]furo[2,3-m]carbazole-20-carboxylic  
 acid, 10a,22a-diethyl-5,6,10a,10b,11a,11b,13,14,19,21,22,22a-dodecahydro-,  
 methyl ester, (4bR,10aR,10bS,11aS,11bS,14aS,21aS,22aS,23aR,25S)- (9CI)  
 (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT **84716-79-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 84716-79-0 CAPLUS

CN Ervafoline, 1-acetyl-14',15'-deepoxy-14',15'-didehydro-2,16-dihydro- (9CI)  
 (CA INDEX NAME)

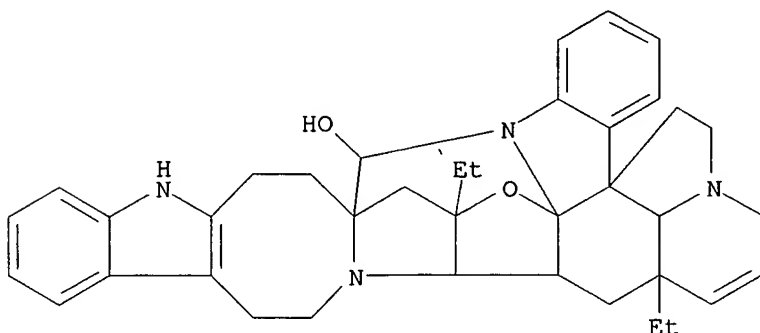
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT **84716-78-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, by ervafolene acid hydrolysis)

RN 84716-78-9 CAPLUS

CN 3,7-Secoervafoline, 14',15'-deepoxy-2,7,14',15'-tetradehydro-16-de(methoxycarbonyl)-2,16-dihydro- (9CI) (CA INDEX NAME)



IT **76881-05-5P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, by ervafolene catalytic hydrogenation)

RN 76881-05-5 CAPLUS

CN 14a,21a,24-Metheno-8H,11H,24H-indolizino[8,1-cd]indolo[2''',3''':5'',6'']azocino[1'',2'':1',5']pyrrolo[2',3':4,5]furo[2,3-m]carbazole-20-carboxylic acid, 10a,22a-diethyl-5,6,9,10,10a,10b,11a,11b,13,14,19,21,22,22a-tetradecahydro-, methyl ester, [10aS-(4bS\*,10a.alpha.,10b.alpha.,11a.alpha.,11b.beta.,14a.alpha.,21a.alpha.,22a.beta.,23aS\*,24.alpha.,25R\*)]- (9CI) (CA INDEX NAME)

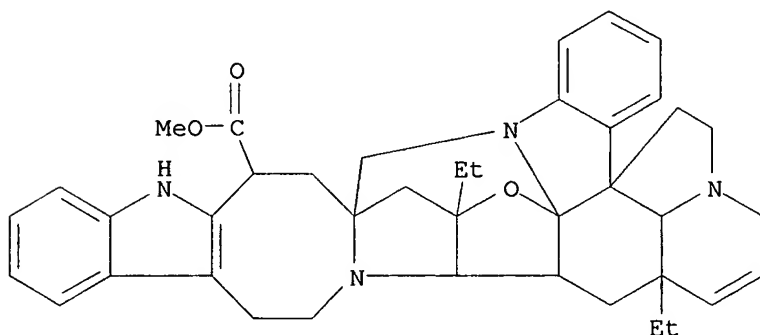
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

IT **84732-47-8P 84732-48-9P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, by ervafolene redn.)

RN 84732-47-8 CAPLUS

CN 3,7-Secoervafoline, 14',15'-deepoxy-2,7,14',15'-tetradehydro-2,16-dihydro-, (16.alpha.)- (9CI) (CA INDEX NAME)



10/016,331

RN 84732-48-9 CAPLUS

CN Ervafoline, 14',15'-deepoxy-14',15'-didehydro-2,16-dihydro- (9CI) (CA  
INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*



~~LP~~ ANSWER 12 OF 20 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1982:82682 CAPLUS

DN 96:82682

TI New dimeric indole alkaloids from *Stenosolen heterophyllum*: structure determinations and synthetic approach

AU Henriques, Amelia; Kan, Christiane; Chiaroni, Angele; Riche, Claude; Husson, Henri Philippe; Kan, Siew Kwong; Lounasmaa, Mauri

CS Inst. Chim. Subst. Nat., Gif-sur-Yvette, F-91190, Fr.

SO J. Org. Chem. (1982), 47(5), 803-11

CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA English

GI For diagram(s), see printed CA Issue.

AB Alkaloids of the ervafolidine family, ervafolidine (I), 3-epi-ervafolidine, 19'(R)-hydroxyervafolidine, and 19'-hydroxyepiervafolidine, were isolated from leaves of *S. heterophyllum*. Structures of these compds. and of 4 dimeric indole alkaloids of the ervafoline series were detd. by mass spectrometry, <sup>1</sup>H NMR, <sup>13</sup>C NMR, and x-ray crystallog. A biogenetic pathway to take into account the formation of these alkaloids, and a synthetic approach based on this proposal was developed for the ervafoline series.

IT 70545-44-7 77784-39-5 77784-40-8

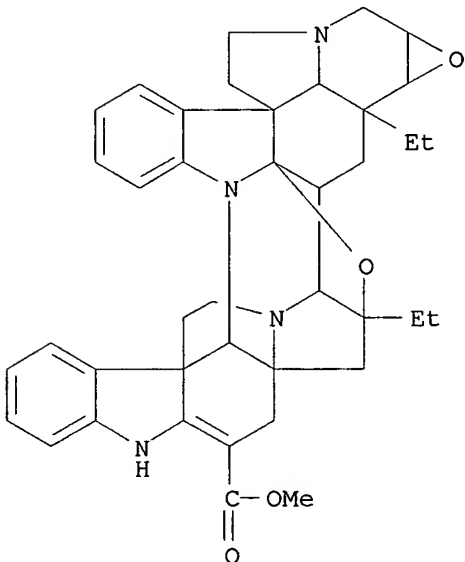
77794-87-7

RL: BOC (Biological occurrence); BIOL (Biological study); OCCU (Occurrence)

(of *Stenosolen heterophyllum*)

RN 70545-44-7 CAPLUS

CN 13a,20a,23-Metheno-8H,10H,23H-indolo[2''',3''':5'',6'']azocino[1'',2'':1',5']pyrrolo[2',3':4,5]furo[2,3-m]oxireno[6,7]indolizino[8,1-cd]carbazole-19-carboxylic acid, 9b,21a-diethyl-5,6,8a,9a,9b,9c,10a,10b,12,13,18,20,21,21a-tetradecahydro-, methyl ester, (4bR,8aR,9aS,9bS,9cS,10aS,10bS,13aS,20aS,21aS,22aR,23S,24S)- (9CI) (CA INDEX NAME)



RN 77784-39-5 CAPLUS

CN 14a,21a,24-Metheno-8H,11H,24H-indolizino[8,1-cd]indolo[2''',3''':5'',6'']a

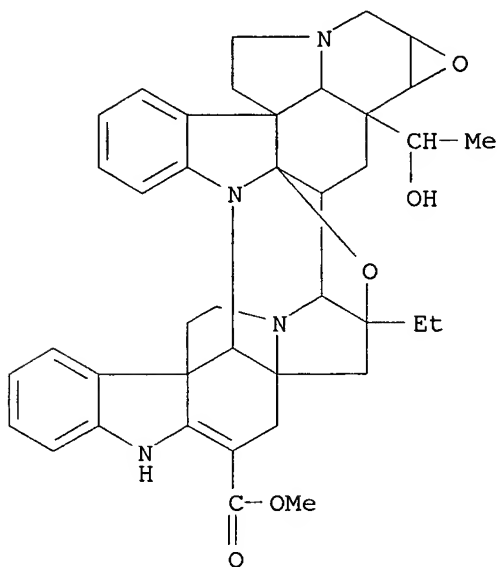
10/016,331

zocino[1'',2'':1',5']pyrrolo[2',3':4,5]furo[2,3-m]carbazole-20-carboxylic acid, 10a,22a-diethyl-5,6,10a,10b,11a,11b,13,14,19,21,22,22a-dodecahydro-, methyl ester, (4bR,10aR,10bS,11aS,11bS,14aS,21aS,22aS,23aR,25S)- (9CI)  
(CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 77784-40-8 CAPLUS

CN Ervafoline, 19'-hydroxy- (9CI) (CA INDEX NAME)



RN 77794-87-7 CAPLUS

CN	Ervafofine, 14',15'-deepoxy-14',15'-didehydro-19'-hydroxy- (INDEX NAME)	(9CI)	(CA)
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\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

~~LE~~ ANSWER 13 OF 20 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1981:407560 CAPLUS

DN 95:7560

TI Determination of structures by proton NMR at 400 MHz: alkaloids of *Stenosolen heterophyllus*

AU Henriques, Amelia; Kan, Christiane; Husson, Henri Philippe; Kan, Siew-Kwong; Lounasmaa, Mauri

CS Inst. Chim. Subst. Nat., Gif-sur-Yvette, F-91190, Fr.

SO Acta Chem. Scand., Ser. B (1980), B34(7), 509-12

CODEN: ACBOCV; ISSN: 0302-4369

DT Journal

LA English

GI For diagram(s), see printed CA Issue.

AB The structures of three new dimeric indole alkaloids, 19'-hydroxyervafoline (I) ervafolene (II, R = H) and 19'-hydroxyervafolene II (R = HO), isolated from the leaves of *Stenosolen heterophyllus*, were detd. by their NMR spectra.

IT **77784-39-5 77784-40-8 77794-87-7**

RL: RCT (Reactant)

(new alkaloid from *Stenosolen*, structure of, NMR in relation to)

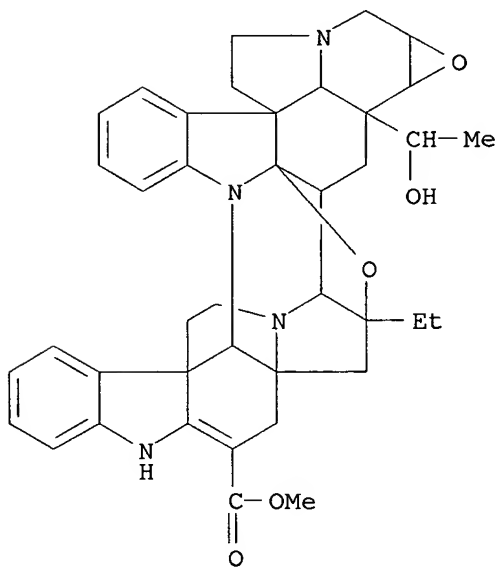
RN 77784-39-5 CAPLUS

CN 14a,21a,24-Metheno-8H,11H,24H-indolizino[8,1-cd]indolo[2''',3''':5'',6'']azocino[1'',2'':1',5']pyrrolo[2',3':4,5]furo[2,3-m]carbazole-20-carboxylic acid, 10a,22a-diethyl-5,6,10a,10b,11a,11b,13,14,19,21,22,22a-dodecahydro-, methyl ester, (4bR,10aR,10bS,11aS,11bS,14aS,21aS,22aS,23aR,25S)- (9CI) (CA INDEX NAME)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

RN 77784-40-8 CAPLUS

CN Ervafoline, 19'-hydroxy- (9CI) (CA INDEX NAME)



RN 77794-87-7 CAPLUS

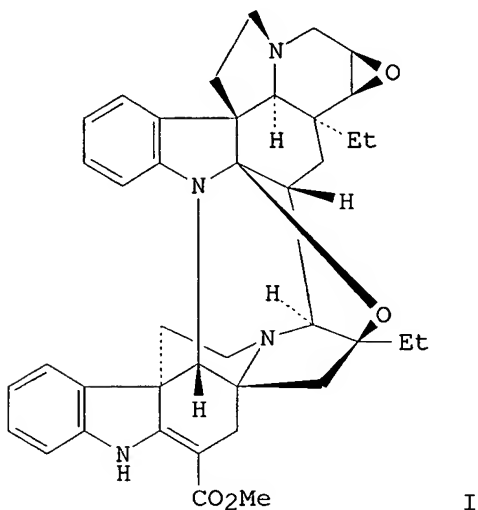
CN Ervafoline, 14',15'-deepoxy-14',15'-didehydro-19'-hydroxy- (9CI) (CA INDEX NAME)

10/016,331

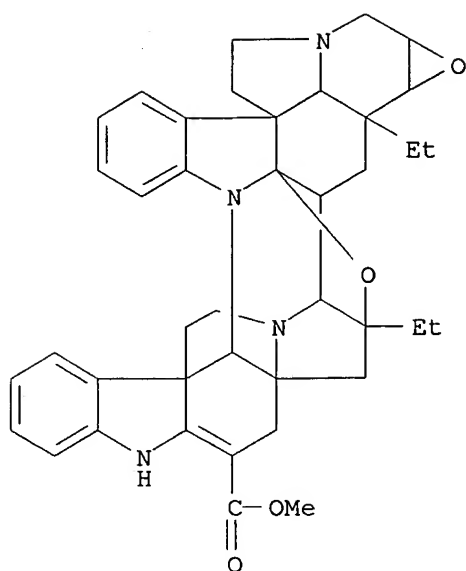
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

10/016,331

AB ANSWER 14 OF 20 CAPLUS COPYRIGHT 2002 ACS  
AN 1980:181449 CAPLUS  
DN 92:181449  
TI A 400 MHz proton NMR study of the dimeric indole alkaloid ervafoline  
AU Henriques, Amelia; Kan, Siew-Kwong; Lounasmaa, Mauri  
CS Inst. Chim. Subst. Nat., Gif-sur-Yvette, F-91190, Fr.  
SO Acta Chem. Scand., Ser. B (1979), B33(10), 775-6  
CODEN: ACBOCV; ISSN: 0302-4369  
DT Journal  
LA English  
GI



AB Consecutive double resonance expts. were used to discover all 44 protons in the NMR of ervafoline (I).  
IT **70545-44-7**  
RL: PRP (Properties)  
(NMR of)  
RN 70545-44-7 CAPLUS  
CN 13a,20a,23-Metheno-8H,10H,23H-indolo[2''',3''':5'',6'']azocino[1'',2'':1',5']pyrrolo[2',3':4,5]furo[2,3-m]oxireno[6,7]indolizino[8,1-cd]carbazole-19-carboxylic acid, 9b,21a-diethyl-5,6,8a,9a,9b,9c,10a,10b,12,13,18,20,21,21a-tetradecahydro-, methyl ester, (4bR,8aR,9aS,9bS,9cS,10aS,10bS,13aS,20aS,21aS,22aR,23S,24S)- (9CI) (CA INDEX NAME)



~~AB~~ ANSWER 15 OF 20 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1979:420842 CAPLUS

DN 91:20842

TI A new type of indolic alkaloid dimer. Structural study and x-ray analysis of ervafoline

AU Henriques, A.; Kan-Fan, C.; Ahond, A.; Riche, C.; Husson, H. P.

CS Inst. Chim. Subst. Nat., CNRS, Gif-sur-Yvette, Fr.

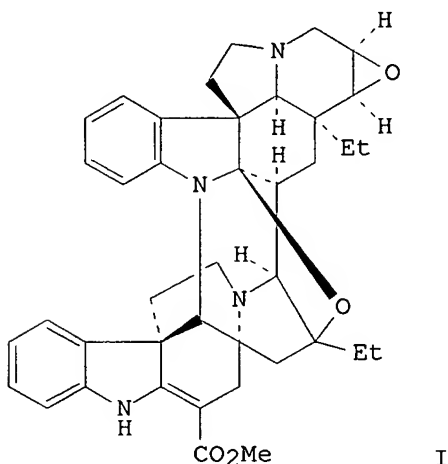
SO Tetrahedron Lett. (1978), (39), 3707-10

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA French

GI



AB The structure and abs. configuration of ervafoline (I), an indolic alkaloid dimer isolated from *Stenosolen heterophyllus*, was detd. from spectral data and by x-ray crystallog. anal. A biosynthetic scheme for the formation of I is reported.

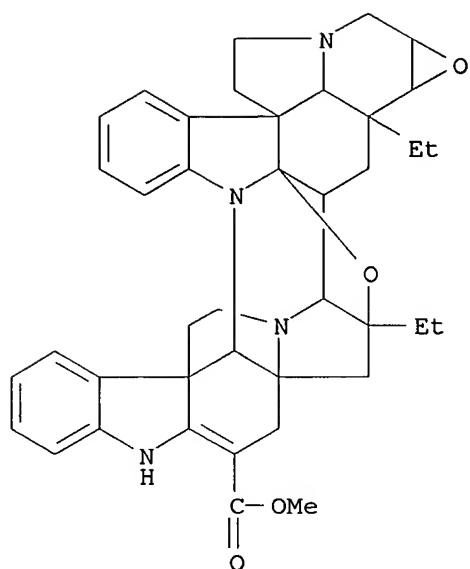
IT 70545-44-7

RL: RCT (Reactant)

(of *Stenosolen heterophyllus*, crystal structure and abs. configuration of)

RN 70545-44-7 CAPLUS

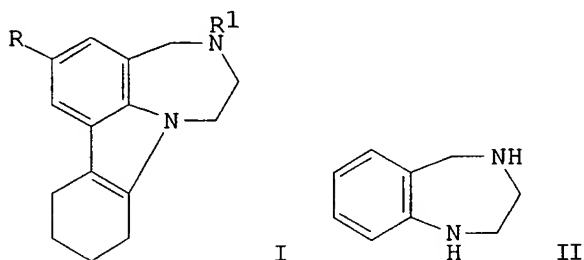
CN 13a,20a,23-Metheno-8H,10H,23H-indolo[2''',3''':5'',6'']azocino[1'',2'':1',5']pyrrolo[2',3':4,5]furo[2,3-m]oxireno[6,7]indolizino[8,1-cd]carbazole-19-carboxylic acid, 9b,21a-diethyl-5,6,8a,9a,9b,9c,10a,10b,12,13,18,20,21,21a-tetradecahydro-, methyl ester, (4bR,8aR,9aS,9bS,9cS,10aS,10bS,13aS,20aS,21aS,22aR,23S,24S)-(9CI) (CA INDEX NAME)



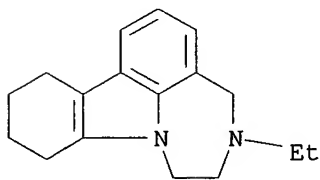


10/016,331

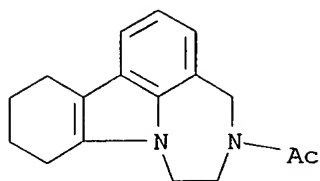
~~AB~~ ANSWER 16 OF 20 CAPLUS COPYRIGHT 2002 ACS  
~~AN~~ 1977:121311 CAPLUS  
DN 86:121311  
TI Synthesis of 1,2,3,4,8,9,10,11-octahydro[1,4]diazepino[6,5,4-jk]carbazole  
and related compounds  
AU Kim, Dong Han  
CS Res. Div., Wyeth Lab., Inc., Philadelphia, Pa., USA  
SO J. Heterocycl. Chem. (1976), 13(6), 1187-92  
CODEN: JHTCAD  
DT Journal  
LA English  
GI



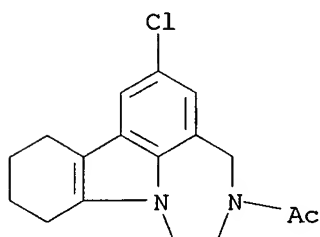
AB 1,2,3,4,8,9,10,11-Octahydro[1,4]diazepino[6,5,4-jk]carbazole (I, R = R1 = H) was prepd. from 2,3,4,5-tetrahydro-1H-benzodiazepine (II) via acetylation, nitrosation, redn., cyclization with cyclohexanone, and deacetylation. Similarly prepd. were I (R = Cl, R1 = Ac; R = H, R1 = Me).  
IT **57756-50-0P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and chlorination of)  
RN 57756-50-0 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-ethyl-1,2,3,4,8,9,10,11-octahydro- (9CI) (CA INDEX NAME)



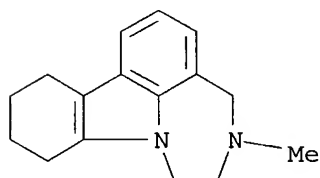
IT **57756-41-9P 57756-42-0P**  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and deacetylation of)  
RN 57756-41-9 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-acetyl-1,2,3,4,8,9,10,11-octahydro- (9CI) (CA INDEX NAME)



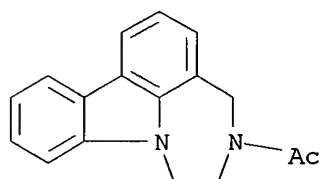
RN 57756-42-0 CAPLUS  
 CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-acetyl-6-chloro-1,2,3,4,8,9,10,11-octahydro- (9CI) (CA INDEX NAME)



IT **57756-43-1P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and quaternization of)  
 RN 57756-43-1 CAPLUS  
 CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-3-methyl- (9CI) (CA INDEX NAME)

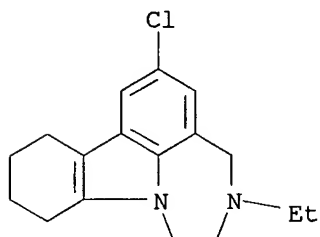


IT **57756-46-4P 57756-54-4P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and redn. of)  
 RN 57756-46-4 CAPLUS  
 CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-acetyl-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RN 57756-54-4 CAPLUS  
 CN [1,4]Diazepino[6,7,1-jk]carbazole, 6-chloro-3-ethyl-1,2,3,4,8,9,10,11-

octahydro- (9CI) (CA INDEX NAME)

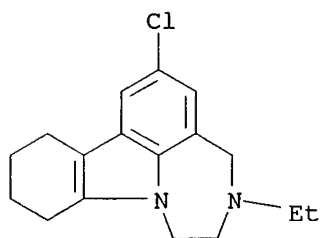


IT 57716-82-2P 57716-83-3P 57716-84-4P  
 57756-44-2P 57756-45-3P 57756-48-6P  
 57756-49-7P 57756-51-1P 57756-52-2P  
 57756-53-3P 61471-61-2P 62088-85-1P  
 62088-86-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

RN 57716-82-2 CAPLUS

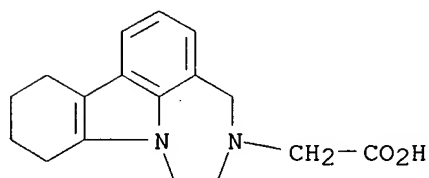
CN [1,4]Diazepino[6,7,1-jk]carbazole, 6-chloro-3-ethyl-1,2,3,4,8,9,10,11-octahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 57716-83-3 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole-3(4H)-acetic acid, 1,2,8,9,10,11-hexahydro-, sodium salt (9CI) (CA INDEX NAME)

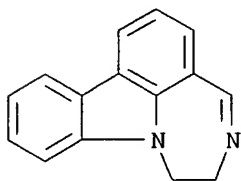


Na

10/016,331

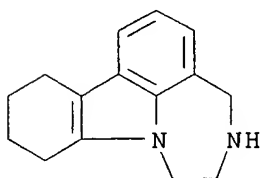
RN 57716-84-4 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2-dihydro- (9CI) (CA INDEX NAME)



RN 57756-44-2 CAPLUS

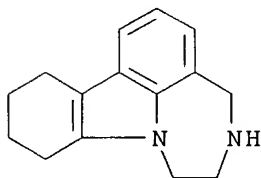
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-,  
monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 57756-45-3 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro- (9CI) (CA  
INDEX NAME)



RN 57756-48-6 CAPLUS

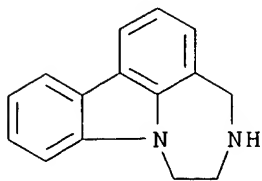
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4-tetrahydro-, sulfate (2:1)  
(9CI) (CA INDEX NAME)

CM 1

CRN 57756-47-5

CMF C15 H14 N2

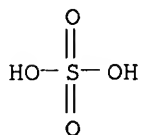
10/016,331



CM 2

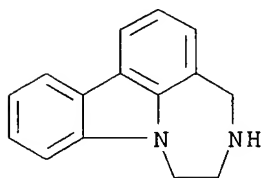
CRN 7664-93-9

CMF H2 O4 S



RN 57756-49-7 CAPLUS

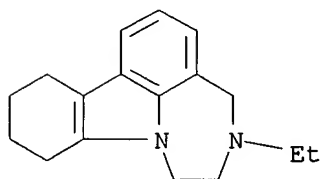
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4-tetrahydro-, monohydrochloride  
(9CI) (CA INDEX NAME)



● HCl

RN 57756-51-1 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-ethyl-1,2,3,4,8,9,10,11-octahydro-,  
monohydrochloride (9CI) (CA INDEX NAME)

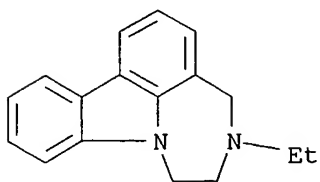


HCl

10/016,331

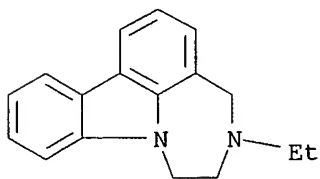
RN 57756-52-2 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-ethyl-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RN 57756-53-3 CAPLUS

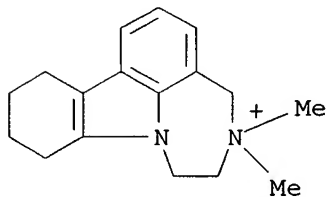
CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-ethyl-1,2,3,4-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 61471-61-2 CAPLUS

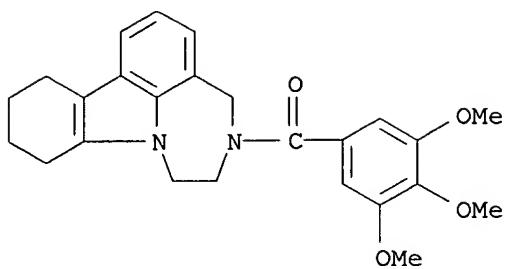
CN [1,4]Diazepino[6,7,1-jk]carbazolium, 1,2,3,4,8,9,10,11-octahydro-3,3-dimethyl-, iodide (9CI) (CA INDEX NAME)



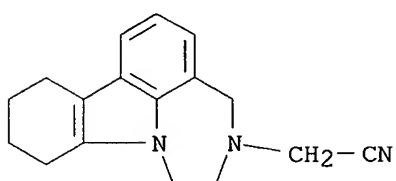
● I<sup>-</sup>

RN 62088-85-1 CAPLUS

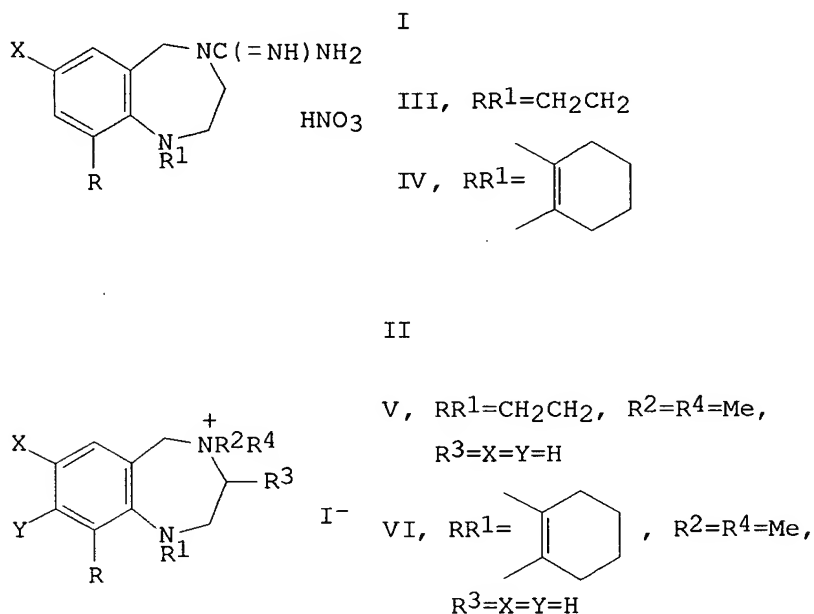
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-3-(3,4,5-trimethoxybenzoyl)- (9CI) (CA INDEX NAME)



RN 62088-86-2 CAPLUS  
 CN [1,4]Diazepino[6,7,1-jk]carbazole-3(4H)-acetonitrile, 1,2,8,9,10,11-hexahydro- (9CI) (CA INDEX NAME)



~~DS~~ ANSWER 17 OF 20 CAPLUS COPYRIGHT 2002 ACS  
~~AN~~ 1977:114977 CAPLUS  
 DN 86:114977  
 TI Derivatives of tetrahydro-1,4-benzodiazepines as potential antihypertensive agents  
 AU Kim, Dong Han; Baum, Thomas  
 CS Med. Chem. Sect., Wyeth Lab., Inc., Philadelphia, Pa., USA  
 SO J. Med. Chem. (1977), 20(2), 209-12  
 CODEN: JMCMAR  
 DT Journal  
 LA English  
 GI



AB Redn. of benzodiazepinedione derivs. followed by amidination with 1-amidino-3,5-dimethylpyrazole nitrate [38184-47-3] gave 3 amidino derivs. (I; R = H; R<sup>1</sup> = H, Me; X = H, Cl), while reaction of the redn. products with MeI gave 6 quaternary salts (II; R = H; R<sup>1</sup> = H, Me, Et; R<sup>2</sup> = Me; R<sup>3</sup> = H, Me; R<sup>4</sup> = Me, Et; X = H, Cl, MeO; Y = H, MeO). Bridged analogs III [61471-57-6], IV [61471-59-8], V [61471-60-1], and VI [61471-61-2] were also prepd. In tests for antihypertensive activity in conscious rats 1,2,3,5-tetrahydro-4H-1,4-benzodiazepine-4-carboxamidino nitrate (I; R = R<sup>1</sup> = X = H) [58483-85-5], its Me deriv. (I; R = X = H; R<sup>1</sup> = Me) [58483-89-9], II (R = R<sup>1</sup> = R<sup>3</sup> = X = Y = H; R<sup>2</sup> = R<sup>4</sup> = Me) [57247-57-1], and V gave marked blood pressure lowering (>50 mm Hg) at oral doses of 75 mg/kg. Structure-activity relations and evidence linking activity to sympathetic nervous system impairment are discussed.

IT **61471-59-8P 61471-61-2P**  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. and antihypertensive activity of)

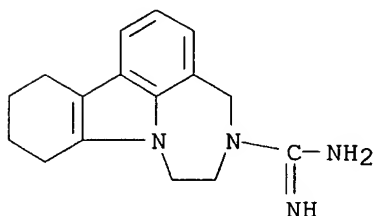


10/016,331

RN 61471-59-8 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole-3(4H)-carboximidamide,  
1,2,8,9,10,11-hexahydro-, mononitrate (9CI) (CA INDEX NAME)

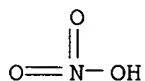
CM 1

CRN 61471-58-7  
CMF C16 H20 N4

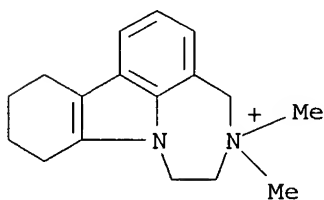


CM 2

CRN 7697-37-2  
CMF H N O3



RN 61471-61-2 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazolium, 1,2,3,4,8,9,10,11-octahydro-3,3-  
dimethyl-, iodide (9CI) (CA INDEX NAME)



~~LA~~ ANSWER 18 OF 20 CAPLUS COPYRIGHT 2002 ACS

~~AN~~ 1976:560051 CAPLUS

~~DN~~ 85:160051

TI Synthesis and properties of some tetracyclic derivatives of 9H-carbazole, 10,11-dihydro-5H-dibenz[b,f]azepine, and 5,11-dihydrodibenz[b,e][1,4]oxazepine

AU Toscano, Luciano; Seghetti, Ennio; Fioriello, Giuseppe

CS Dep. Synth. Chem. Res., Pierrel S.p.A., Milan, Italy

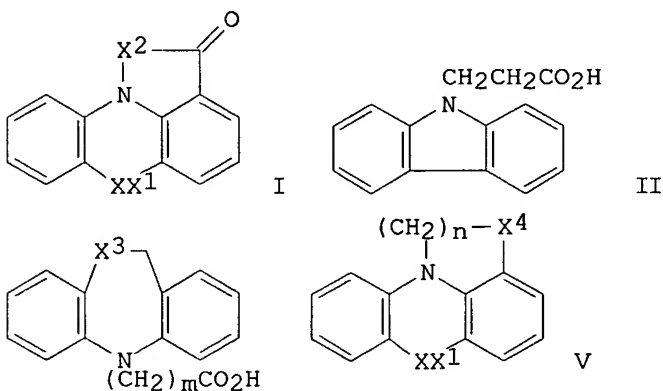
SO J. Heterocycl. Chem. (1976), 13(3), 475-80

CODEN: JHTCAD

DT Journal

LA English

GI



III,  $X^3=CH_2$ ,  $m=2$

IV,  $X^3=O$ ,  $m=3$

AB The tetracyclic heterocycles I [ $XX1 = -$ ,  $X2 = (CH_2)_2$ ;  $XX1 = X2 = (CH_2)_2$ ;  $XX1 = CH_2O$ ,  $X2 = (CH_2)_3$ ;  $XX1 = OCH_2$ ,  $X2 = (CH_2)_3$ ], prepd. by cyclization of the carbazole II, dibenzazepine III, or dibenzoxazepine IV, were treated with polyphosphoric acid- $NaN_3$  to give the lactams V ( $XX1 = -$ ,  $X4 = NHCO$ ,  $n = 2$ ;  $XX1 = (CH_2)_2$ ,  $X4 = NHCO$ ,  $n = 2$ ;  $XX1 = CH_2O$ ,  $X4 = CONH$ ,  $n = 3$ ;  $XX1 = OCH_2$ ,  $X4 = CONH$ ,  $n = 3$ ).

IT 60579-02-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and formylation of)

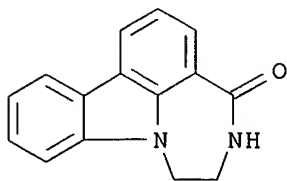
RN 60579-02-4 CAPLUS

IT 59705-06-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and redn. of)

RN 59705-06-5 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazol-4(1H)-one, 2,3-dihydro- (9CI) (CA INDEX NAME)

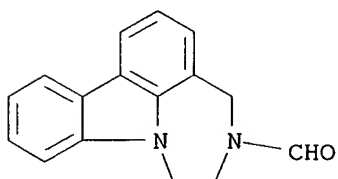


IT 60579-06-8P 60579-08-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

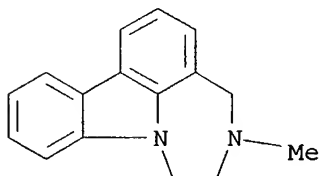
RN 60579-06-8 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole-3(4H)-carboxaldehyde, 1,2-dihydro- (9CI)  
(CA INDEX NAME)



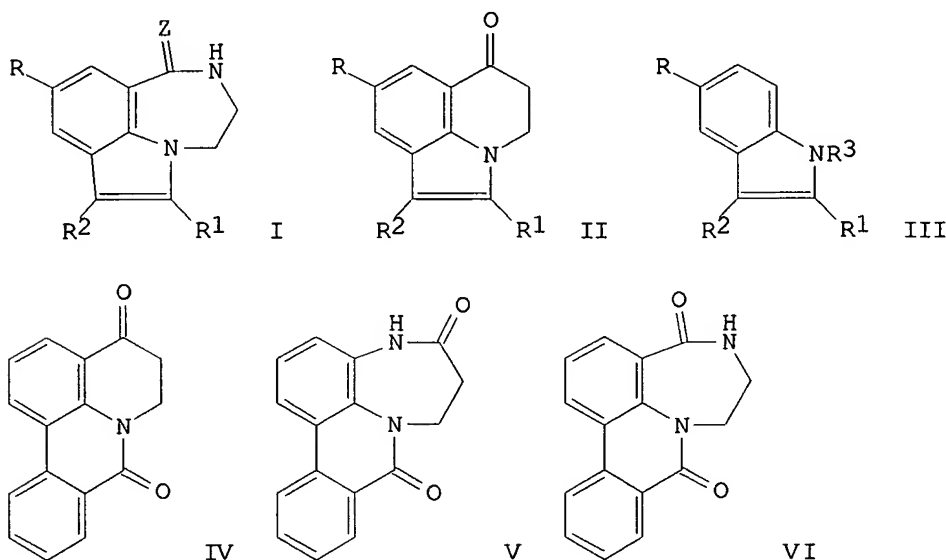
RN 60579-08-0 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4-tetrahydro-3-methyl- (9CI) (CA  
INDEX NAME)



10/016,331

~~18~~ ANSWER 19 OF 20 CAPLUS COPYRIGHT 2002 ACS  
AN 1976:432967 CAPLUS  
DN 85:32967  
TI Schmidt reaction of tetrahydroquinolone derivatives  
AU Haerter, H. P.; Stauss, U.; Osiecki, J. H.; Schindler, O.  
CS Forschungsinst., Wander A.-G., Bern, Switz.  
SO Chimia (1976), 30(2), 50-2  
CODEN: CHIMAD  
DT Journal  
LA German  
GI



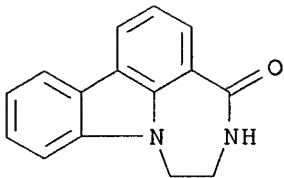
AB Diazepinones I [ $Z = O$ ;  $R = H$ ,  $R_1 = H$ ,  $Et$ ,  $R_2 = Me$ ,  $R_1R_2 = (CH)_4$ ,  $CH:CHCl:CH$ ,  $(CH_2)_4$ ;  $R = Cl$ ,  $R_1 = R_2 = Me$ ,  $R_1R_2 = (CH_2)_4$ ] were obtained by Schmidt reaction of the tetrahydroquinolones II. Structure of I ( $Z = O$ ) was confirmed by redn. to I ( $Z = H_2$ ). II were prepd. by treating III ( $R_3 = H$ ) with  $CH_2:CHCN$ , ethanolysis of III ( $R_3 = CH_2CH_2CN$ ), hydrolysis of III ( $R_3 = CH_2CH_2CO_2Et$ ), and cyclization of III ( $R_3 = CH_2CH_2CO_2H$ ). Schmidt reaction of IV, similarly prepd. from phenanthridone, gave isomeric diazepinones V and VI.

IT 59705-06-5P 59705-07-6P 59705-08-7P  
59705-09-8P

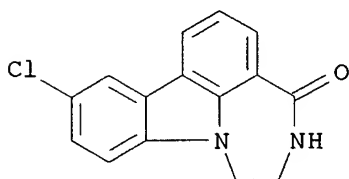
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and redn. of)

RN 59705-06-5 CAPLUS

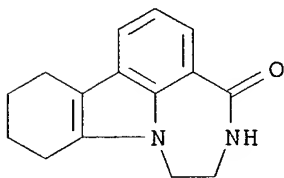
CN [1,4]Diazepino[6,7,1-jk]carbazol-4(1H)-one, 2,3-dihydro- (9CI) (CA INDEX NAME)



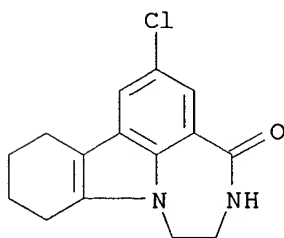
RN 59705-07-6 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazol-4(1H)-one, 9-chloro-2,3-dihydro- (9CI)  
(CA INDEX NAME)



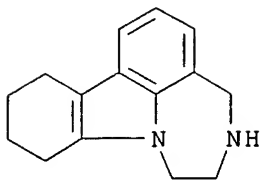
RN 59705-08-7 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazol-4(1H)-one, 2,3,8,9,10,11-hexahydro- (9CI)  
(CA INDEX NAME)



RN 59705-09-8 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazol-4(1H)-one, 6-chloro-2,3,8,9,10,11-hexahydro- (9CI) (CA INDEX NAME)

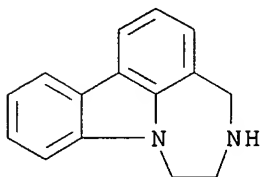


IT 57756-45-3P 57756-47-5P 59705-11-2P  
59705-12-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)  
RN 57756-45-3 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro- (9CI) (CA INDEX NAME)



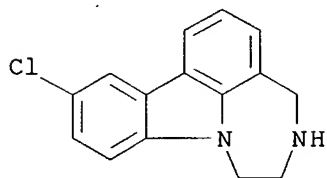
RN 57756-47-5 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



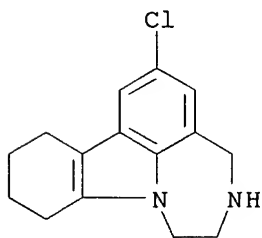
RN 59705-11-2 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 9-chloro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RN 59705-12-3 CAPLUS

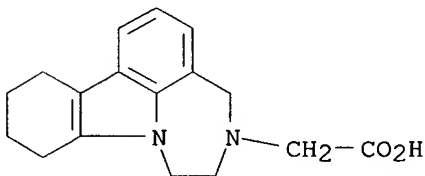
CN [1,4]Diazepino[6,7,1-jk]carbazole, 6-chloro-1,2,3,4,8,9,10,11-octahydro- (9CI) (CA INDEX NAME)



10/016,331

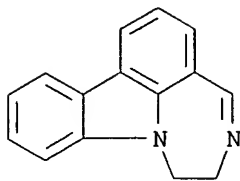
~~LA~~ ANSWER 20 OF 20 CAPLUS COPYRIGHT 2002 ACS  
~~AN~~ 1976:31150 CAPLUS  
DN 84:31150  
TI 1,4-Diazepino[6,5,4-jk]carbazoles  
IN Kim, Dong H.  
PA American Home Products Corp., USA  
SO U.S., 7 pp.  
CODEN: USXXAM  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3914250	A	19751021	US 1974-493807	19740801
GI	For diagram(s), see printed CA Issue.				
AB	Anticonvulsant diazepinocarbazoles I-IV (R = H, Ac, Me, Et, CH <sub>2</sub> CO <sub>2</sub> Na; R = H, Cl) (11 compds.) were prep'd. from benzodiazepine IV (R = H, Me, R <sub>1</sub> = H, Cl). Thus, I(R = Ac, R <sub>1</sub> = H), obtained from IV (R = R <sub>1</sub> = H) via acetylation, nitrosation, redn. using Zn dust and HOAc, and then condensation with cyclohexanone, underwent deacetylation to I(R = R <sub>1</sub> = H) and then N-alkylation with BrCH <sub>2</sub> CO <sub>2</sub> Et to give I(R = CH <sub>2</sub> CO <sub>2</sub> Na, R <sub>1</sub> = H). Refluxing a xylene soln. of I(R = Ac, R <sub>1</sub> = H) with Pd/C gave II, which was deacetylated to II(R = R <sub>1</sub> = H) or was reduced with LiAlH <sub>4</sub> to II(R = Et, R <sub>1</sub> = H). III (R <sub>1</sub> = H) was obtained from I(R = Ac, R <sub>1</sub> = H) by successive redn. with LiAlH <sub>4</sub> and then dehydrogenation using Pd/C. I(R = Ac, R <sub>1</sub> = H) had an ED <sub>50</sub> of 112 mg/kg against extensor seizures in mice.				
IT	57716-83-3P 57716-84-4P 57756-42-0P 57756-45-3P 57756-47-5P 57756-50-0P 57756-52-2P 57756-54-4P RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and anticonvulsant activity of)				
RN	57716-83-3 CAPLUS				
CN	[1,4]Diazepino[6,7,1-jk]carbazole-3(4H)-acetic acid, 1,2,8,9,10,11-hexahydro-, sodium salt (9CI) (CA INDEX NAME)				

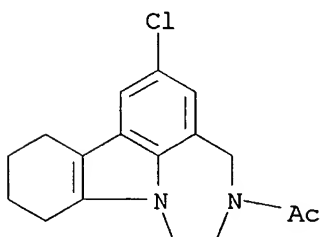


RN 57716-84-4 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2-dihydro- (9CI) (CA INDEX NAME)

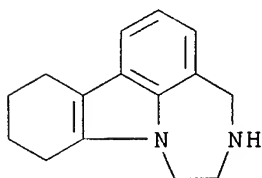
10/016,331



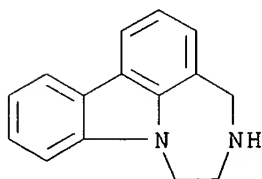
RN 57756-42-0 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-acetyl-6-chloro-1,2,3,4,8,9,10,11-octahydro- (9CI) (CA INDEX NAME)



RN 57756-45-3 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro- (9CI) (CA INDEX NAME)

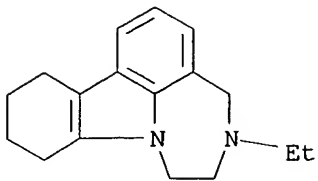


RN 57756-47-5 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



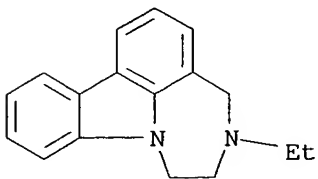
RN 57756-50-0 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-ethyl-1,2,3,4,8,9,10,11-octahydro- (9CI) (CA INDEX NAME)





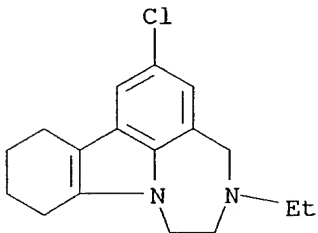
RN 57756-52-2 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-ethyl-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



RN 57756-54-4 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 6-chloro-3-ethyl-1,2,3,4,8,9,10,11-octahydro- (9CI) (CA INDEX NAME)



IT 57716-82-2P 57756-43-1P 57756-44-2P

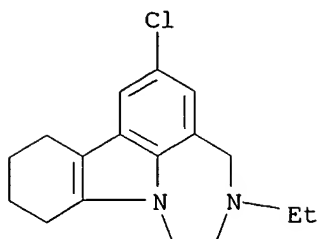
57756-48-6P 57756-49-7P 57756-51-1P

57756-53-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

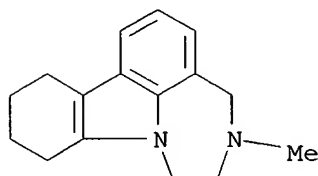
RN 57716-82-2 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 6-chloro-3-ethyl-1,2,3,4,8,9,10,11-octahydro-, monohydrochloride (9CI) (CA INDEX NAME)

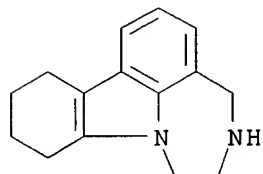


● HCl

RN 57756-43-1 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-3-methyl-  
(9CI) (CA INDEX NAME)



RN 57756-44-2 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4,8,9,10,11-octahydro-,  
monohydrochloride (9CI) (CA INDEX NAME)



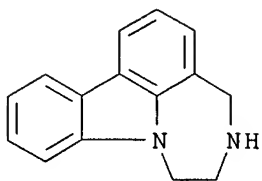
● HCl

RN 57756-48-6 CAPLUS  
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4-tetrahydro-, sulfate (2:1)  
(9CI) (CA INDEX NAME)

CM 1

CRN 57756-47-5  
CMF C15 H14 N2

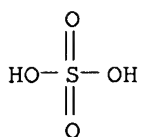
10/016,331



CM 2

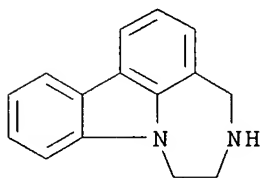
CRN 7664-93-9

CMF H2 O4 S



RN 57756-49-7 CAPLUS

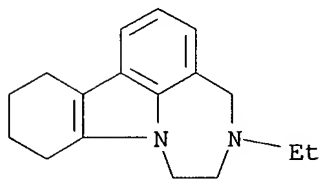
CN [1,4]Diazepino[6,7,1-jk]carbazole, 1,2,3,4-tetrahydro-, monohydrochloride  
(9CI) (CA INDEX NAME)



● HCl

RN 57756-51-1 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-ethyl-1,2,3,4,8,9,10,11-octahydro-,  
monohydrochloride (9CI) (CA INDEX NAME)

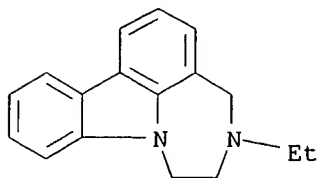


HCl

10/016,331

RN 57756-53-3 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-ethyl-1,2,3,4-tetrahydro-,  
monohydrochloride (9CI) (CA INDEX NAME)



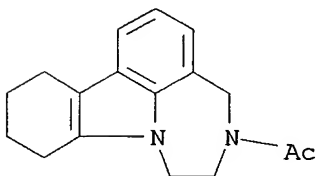
● HCl

IT 57756-41-9P 57756-46-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(prepn., reaction, and anticonvulsant activity of)

RN 57756-41-9 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-acetyl-1,2,3,4,8,9,10,11-octahydro-  
(9CI) (CA INDEX NAME)



RN 57756-46-4 CAPLUS

CN [1,4]Diazepino[6,7,1-jk]carbazole, 3-acetyl-1,2,3,4-tetrahydro- (9CI) (CA  
INDEX NAME)

